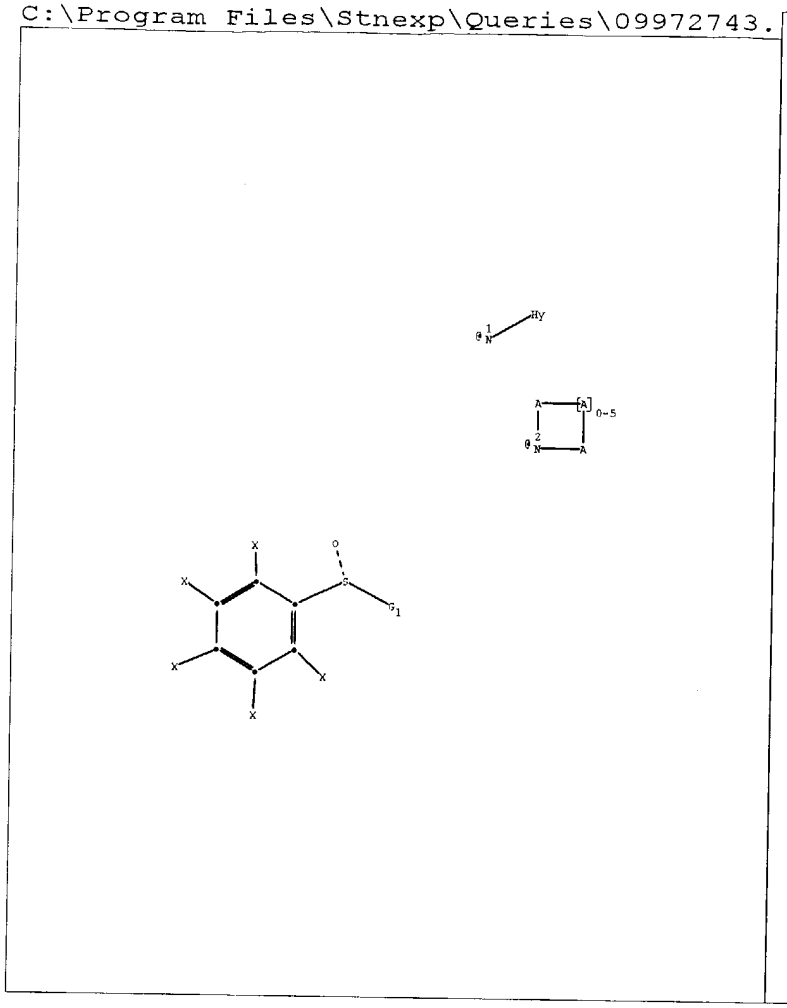
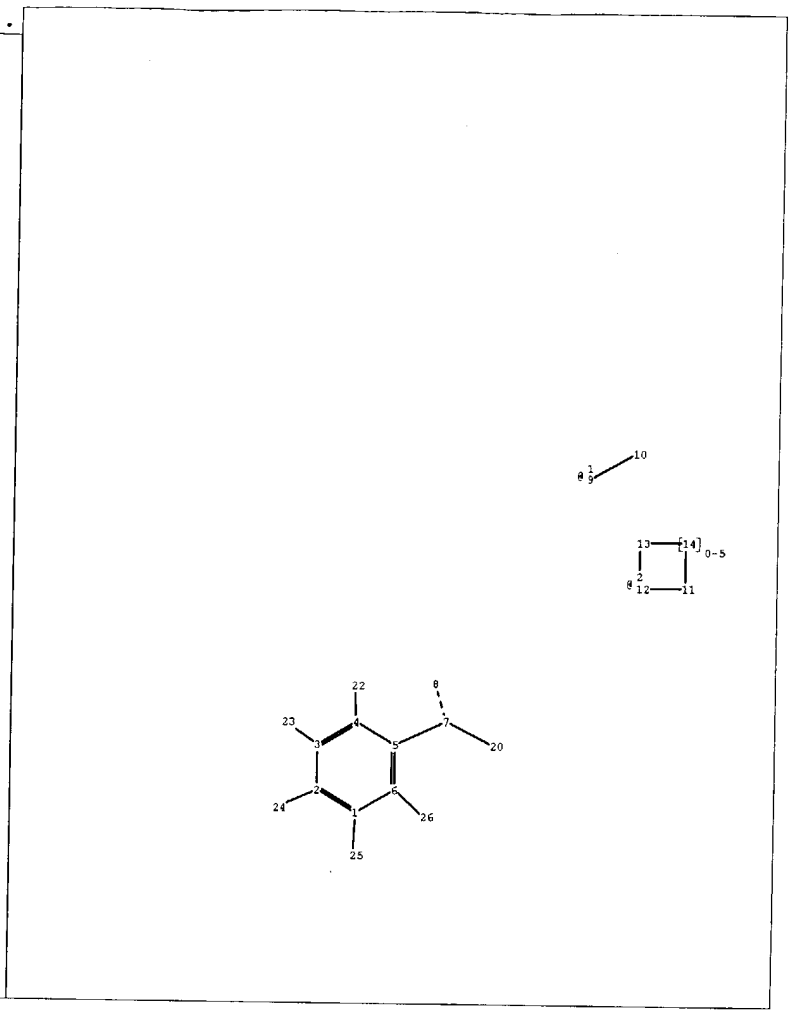
C:\Program Files\Stnexp\Queries\09972743.





chain nodes :
7 8 9 10 20 22 23 24 25 26
ring nodes :
1 2 3 4 5 6 11 12 13 14
chain bonds :
1-25 2-24 3-23 4-22 5-7 6-26 7-8 7-20 9-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-14 12-13 13-14
exact/norm bonds :
5-7 7-8 7-20 9-10 11-12 11-14 12-13 13-14
exact bonds :
1-25 2-24 3-23 4-22 6-26
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:[*1],[*2]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 20:CLASS 22:CLASS 23:CLASS
24:CLASS 25:CLASS 26:CLASS

=> ....Testing the current file.... screen

ENTER SCREEN EXPRESSION OR (END):end

=> screen 1839

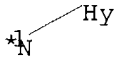
L1 SCREEN CREATED

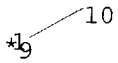
=> screen 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047

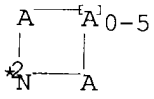
L2 SCREEN CREATED

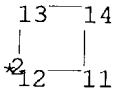
=>

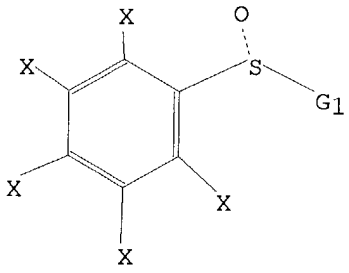
Uploading C:\Program Files\Stnexp\Queries\09972743.str

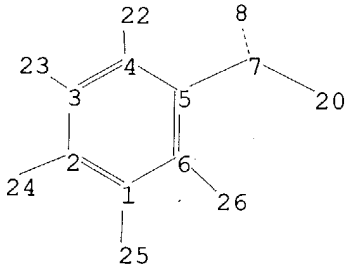












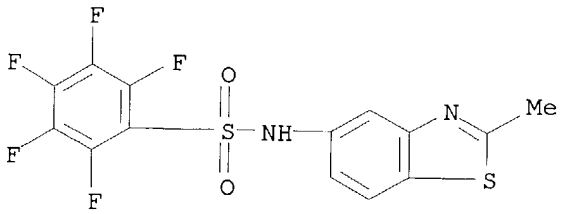
chain nodes :
7 8 9 10 20 22 23 24 25 26
ring nodes :
1 2 3 4 5 6 11 12 13 14
chain bonds :
1-25 2-24 3-23 4-22 5-7 6-26 7-8 7-20 9-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-14 12-13 13-14
exact/norm bonds :
5-7 7-8 7-20 9-10 11-12 11-14 12-13 13-14
exact bonds :
1-25 2-24 3-23 4-22 6-26
normalized bonds :

```
1-2  1-6  2-3  3-4  4-5  5-6
isolated ring systems :
containing 1 :


G1:[*1],[*2]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  9:CLASS  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  20:CLASS  22:CLASS  23:CLASS  24:CLASS
25:CLASS  26:CLASS



L3       STRUCTURE UPLOADED

=> que L3 AND L1 NOT L2

L4    QUE L3 AND L1 NOT L2

=> d l4
L4 HAS NO ANSWERS
L1              SCR 1839
L2              SCR 2016 OR 2026 OR 2039 OR 2040 OR 2045 OR 2047
L3              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L4              QUE  L3 AND L1 NOT L2


=> s l4 sss sam
SAMPLE SEARCH INITIATED 17:57:45 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     467 TO ITERATE

100.0% PROCESSED     467 ITERATIONS                                  5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          8044 TO    10636
PROJECTED ANSWERS:                5 TO      234

L5            5 SEA SSS SAM L3 AND L1 NOT L2

=> => s l4 sss ful
FULL SEARCH INITIATED 17:58:19 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  10046 TO ITERATE

100.0% PROCESSED   10046 ITERATIONS                                82 ANSWERS
SEARCH TIME: 00.00.01

L6            82 SEA SSS FUL L3 AND L1 NOT L2

=> => s l6
L7           42 L6
```

L7 ANSWER 1 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:368925 CAPLUS
DN 140:391280
TI Preparation of arylsulfonylbenzazoles as inhibitors of 11-β-hydroxy steroid dehydrogenase type 1 and type 2.
IN Vicker, Nigel; Su, Xiangdong; Ganeshapillai, Dharshini; Purohit, Atul; Reed, Michael John; Potter, Barry Victor Lloyd
PA Sterix Limited, UK
SO PCT Int. Appl., 172 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004037251	A1	20040506	WO 2003-GB4590	20031023
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004143124	A1	20040722	US 2003-690708	20031023
PRAI	GB 2002-24830	A	20021024		
	US 2002-436635P	P	20021230		

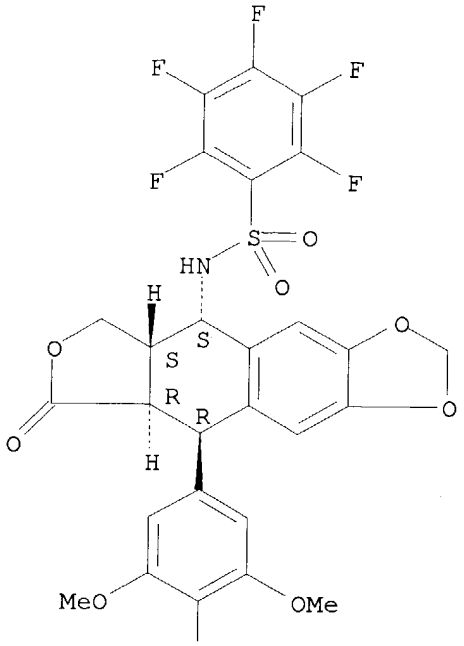
OS MARPAT 140:391280
AB Title compds. [I; 1 of R1, R2 = R5SO2N(R4)L; R4 = H, hydrocarbyl; R5 = hydrocarbyl; L = optional linker group; R1R2 = atoms form a ring; X = S, O, NR6, C(R7)(R8); R6-R8 = H, hydrocarbyl], were prepared Thus, title compound (II) inhibited 11β-HSD1 with IC50 = 6.6 μM.
IT **686746-59-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indoles, benzothiazoles, benzoxazoles, and benzimidazoles as inhibitors of hydroxy steroid dehydrogenase)
RN 686746-59-8 CAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-(2-methyl-5-benzothiazolyl)-(9CI) (CA INDEX NAME)



L7 ANSWER 2 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:261220 CAPLUS
DN 140:423511
TI Synthesis and Biological Activity of Sulfonamide Derivatives of Epipodophyllotoxin
AU Guianvarc'h, Dominique; Duca, Maria; Boukarim, Chawki; Kraus-Berthier, Laurence; Leonce, Stephane; Pierre, Alain; Pfeiffer, Bruno; Renard, Pierre; Arimondo, Paola B.; Monneret, Claude; Dauzonne, Daniel
CS CNRS UMR 5153-MNHN USM 0503, Laboratoire de Biophysique, INSERM UR 565, Paris, 75231, Fr.
SO Journal of Medicinal Chemistry (2004), 47(9), 2365-2374
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB A series of novel 4β-substituted sulfonamide derivs. of 4'-O-demethyl-4-desoxypodophyllotoxin, I [R1 = SO2R, R = Me, n-Pr, (CH2)3NH2, 2-thienyl, piperidino, etc.] (II), has been synthesized. II were synthesized by silylating the alc. I (R1 = H), followed by reaction with RSO2Cl, and desilylation. Their effects on human DNA topoisomerase II and, in some cases, on tubulin polymerization were evaluated. Several of the compds., e.g. II (R = Me), and the synthetic precursor, the 4β-azido compound, are potent topoisomerase II poisons that induce double-stranded breaks in DNA, with either improved or similar activity compared to etoposide. Only the amino precursor, compound I (R1 = H), was slightly active in tubulin polymerization inhibition assays. We observed that the derivs. bearing an aromatic ring on the 4β-sulfonamide substituent were either less cytotoxic or equivalent to the parent drug, while the sulfonamides containing an aliphatic side chain and the amino-sulfonamide derivs., except II [R = (CH2)15Me, (CH2)3NH2], exhibited increased cytotoxicity compared to etoposide. In vivo, against the P388 leukemia and the A-549 orthotopic model of lung carcinoma, the most promising compds. were the morpholino- and the piperazino-containing sulfonamides derivs. II (R = morpholino, 4-methylpiperazino).
IT **692755-76-3P**
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, antitumor, tubulin polymerization inhibitory, and human DNA topoisomerase II inhibitory activity of sulfonamide derivs. of epipodophyllotoxin)
RN 692755-76-3 CAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-[(5S,5aS,8aR,9R)-5,5a,6,8,8a,9-hexahydro-9-(4-hydroxy-3,5-dimethoxyphenyl)-8-oxofuro[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



PAGE 2-A

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:60488 CAPLUS
DN 140:128431
TI Preparation of pyrazine and quinoxaline derivatives as chemokine receptor CCR4 antagonists and medicinal use thereof
IN Habashita, Hiromu; Kokubo, Masaya; Shibayama, Shiro; Tada, Hideaki; Sagawa, Kenji
PA Ono Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 353 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004007472	A1	20040122	WO 2003-JP8654	20030708

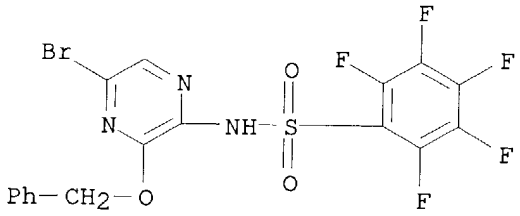
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2002-200879 A 20020710
OS MARPAT 140:128431
AB Compds. such as pyrazine and quinoxaline derivs. represented by the general formula (I) or salts thereof [the ring A, B, D = (un)substituted cyclic group; J = a bond, a spacer having 1-8 atoms in the main chain; G = a bond, a spacer having 1-4 atoms in the main chain] are prepared Also disclosed are chemokine receptor CCR4 antagonists, inhibitors of effector cell function, cell migration inhibitor, and TNFα modulators containing the compds. I. The compds. I, e.g. 6-bromo-2-[(3,4-dimethoxyphenyl)methoxy]-3-(4-methylphenylsulfonylamino)pyrazine (II) and 2-[(pyridin-3-yl)methoxy]-3-(4-methylphenylsulfonylamino)quinoxaline, are useful in the prevention of and/or treatments for diseases in which CCR4 participates, such as inflammation and allergic diseases, metabolic and endocrine diseases, cancer, infections, respiratory diseases (in particular asthma), and skin diseases (in particular atopic dermatitis). The diseases may include systemic inflammation response syndrome (SIRS), anaphylactic or anaphylactoid reaction, allergic vasculitis, transplant organ rejection reaction, hepatitis, nephritis, nephropathy, pancreatitis, rhinitis, arthritis, inflammatory eye diseases, inflammatory intestine diseases, cerebral/circulatory diseases, and autoimmune diseases. Thus, II in vitro inhibited the human macrophage-derived chemokine (MDC)-induced temporary increase in Ca2+ ion concentration in CHO cells expressing human CCR4 with IC50 of 0.016 μM. 100 Tablets each containing 50 mg II were formulated from II 5.0, CM-cellulose calcium salt 0.2, magnesium stearate 0.1, and microcryst. cellulose 4.7 g.
IT **648890-98-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazine and quinoxaline derivs. as chemokine receptor CCR4 antagonists, inhibitors of effector cell function, cell migration

inhibitors, and TNFα modulators)

RN 648890-98-6 CAPLUS

CN Benzenesulfonamide, N-[5-bromo-3-(phenylmethoxy)pyrazinyl]-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:836848 CAPLUS
DN 139:350754
TI Preparation of 2,3-diphenylquinoxaline derivatives as inhibitors of Akt activity for treating cancer
IN Bilodeau, Mark T.; Duggan, Mark E.; Hartnett, John C.; Lindsley, Craig W.; Manley, Peter J.; Wu, Zhicai; Zhao, Zhijian
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 228 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003086394	A1	20031023	WO 2003-US10442	20030404

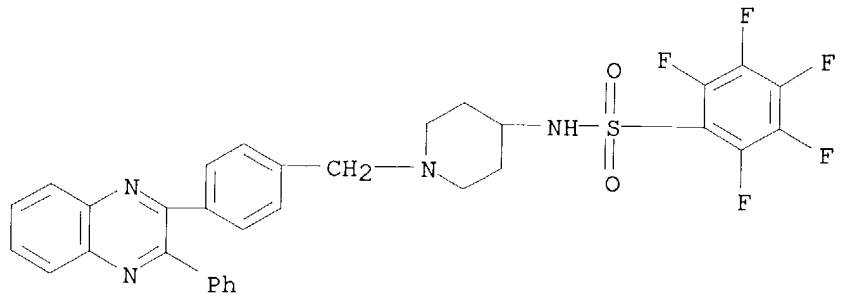
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-370847P	P	20020408	
US 2002-417174P	P	20021009	

OS MARPAT 139:350754
AB The title compds. comprising a 2,3-diphenylquinoxaline moiety [I; u, v, w and x = CH, N; y, z = CH, N (provided that at least one of y and z = N); Q = NR5R6, (un)substituted aryl, heterocyclyl; R1 = alkenyl, halo, CN, etc.; R2 = OH, CN, CO2H, etc.; R3, R4 = H, alkyl, perfluoroalkyl; or R3 and R4 are combined to form (CH2)t wherein one of the carbon atoms is optionally replaced by O, SOm, (un)substituted NHCO, N(COH); R5, R6 = H, aryl, heterocyclyl, etc.; or NR5R6 = monocyclic or bicyclic heterocycle; R7 = halo, CN, CO2H, etc.; n = 0-3; p = 0-2; t = 2-6; m = 0-2; q = 0-4; r = 0-1] and their salts which inhibit the activity of Akt, a serine/threonine protein kinase, were prepared E.g., a 2-step synthesis of the quinoxaline II [starting from 4-bromomethylbenzil and 4-(2-keto-1-benzimidazolinyl)piperidine], was given. The exemplified compds. I were found to have IC50 of ≤ 50 μM against one or more of Akt1, Akt2 and Akt3. The invention is further directed to chemotherapeutic compns. containing the compds. I and methods for treating cancer comprising administration of the compds. I.
IT **616868-04-3P 616870-31-6P 616870-61-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2,3-diphenylquinoxaline derivs. as inhibitors of Akt activity for treating cancer)
RN 616868-04-3 CAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-[1-[[4-(3-phenyl-2-quinoxalinyl)phenyl]methyl]-4-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

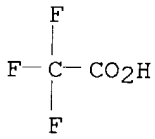
CM 1

CRN 616868-03-2
CMF C32 H25 F5 N4 O2 S

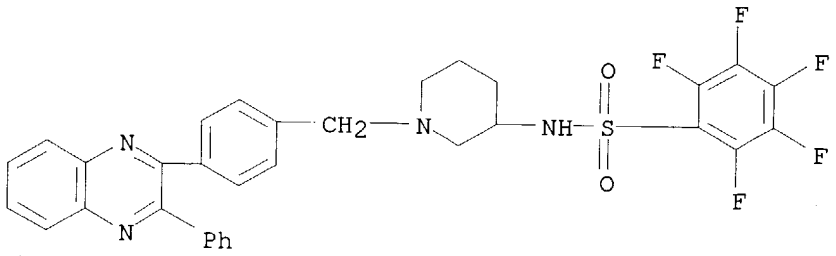


CM 2

CRN 76-05-1
CMF C2 H F3 O2



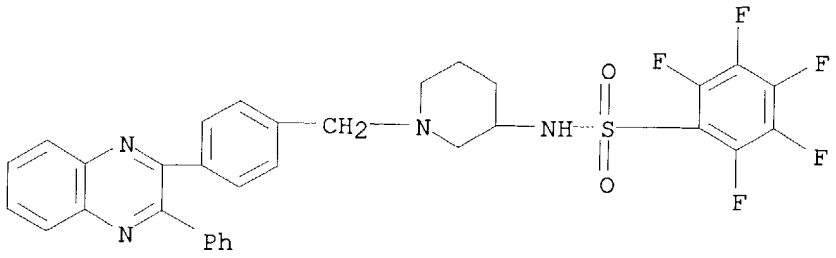
RN 616870-31-6 CAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-[1-[[4-(3-phenyl-2-quinoxalinyl)phenyl]methyl]-3-piperidinyl]- (9CI) (CA INDEX NAME)



RN 616870-61-2 CAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-[1-[[4-(3-phenyl-2-quinoxalinyl)phenyl]methyl]-3-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

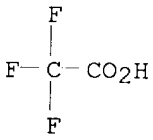
CM 1

CRN 616870-31-6
CMF C32 H25 F5 N4 O2 S



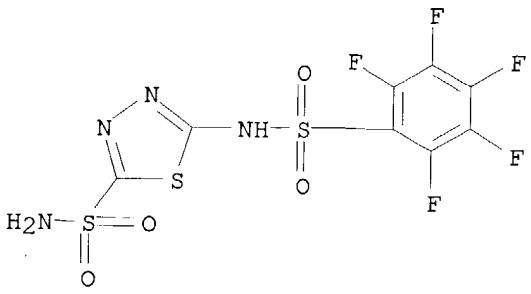
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:455581 CAPLUS
DN 139:224375
TI Effects of 5-perfluorophenyl/octyl/butyl-substituted derivatives of aromatic/heterocyclic sulfonamides on carbonic anhydrase II activity in vitro and on betamethasone-induced ocular hypertension in rabbits
AU Di Filippo, Clara; Rossi, Settimio; Lampa, Enrico; Boldrini, Enrico; Rinaldi, Barbara; Falcone, Giuseppe; Mazzeo, Filomena; Filippelli, Walter; D'Amico, Michele
CS Department of Experimental Medicine, Section of Pharmacology, Faculty of Medicine and Surgery, 2nd University of Naples, Naples, 80138, Italy
SO Research Communications in Pharmacology and Toxicology (2002), 7(1 & 2), 101-110
CODEN: RCPTFY; ISSN: 1087-1101
PB PJD Publications Ltd.
DT Journal
LA English
AB 5-Perfluorophenyl/octyl/butyl-substituted (compds. 1, 2 and 3, resp.) derivs. of aromatic/heterocyclic sulfonamides are newly synthesized compds. with putative reductive effect on the carbonic anhydrase activity and putative ocular hypotensive properties. Here we studied the effects of these compds. on carbonic anhydrase II activity in vitro and on betamethasone-induced ocular hypertension in rabbits. The compound 3 was found to be effective inhibitor of the CAII in vitro. In contrast, the compound 1 showed significant activity at the highest (25 μM) dose tested, while no significant effect was observed for the compound 2. In vivo, the administration of the compds. 1 and 3, 1% and 2% (0.05 mL/day/twice a day) concns., significantly reduced the ocular hypertension induced by 20 days treatment with betamethasone into the eye. This effect started 1h after treatment on day 1, and lasted for 12 h. In particular, the 1% concentration lowering activity was almost extinguished 12h later. A similar trend for IOP reduction was observed on day 10 and 20 of treatment. In contrast to this, the administration of the compound 2 (2% solution) only occasionally reduced the ocular hypertension induced by betamethasone in rabbits. Therefore, we suggest the possible effectiveness of the compound 3 (5-perfluorobutyl derivatized), and partially the compound 1 (5-perfluorophenyl derivatized), as carbonic anhydrase inhibitors. They are active as topical intraocular pressure-lowering agents in betamethasone-induced ocular hypertension.
IT **316826-91-2**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5-perfluorophenyl/octyl/butyl-substituted derivs. of 1,3,4-thiadiazol-2-sulfonamides inhibit carbonic anhydrase II activity and reduce ocular hypertension)
RN 316826-91-2 CAPLUS
CN 1,3,4-Thiadiazole-2-sulfonamide, 5-[[(pentafluorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

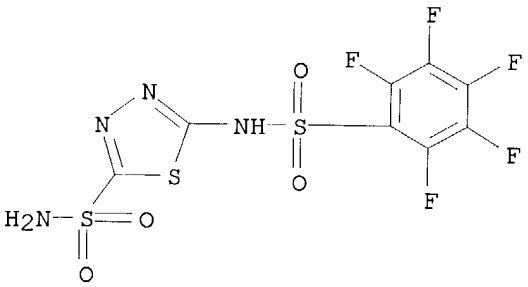


RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

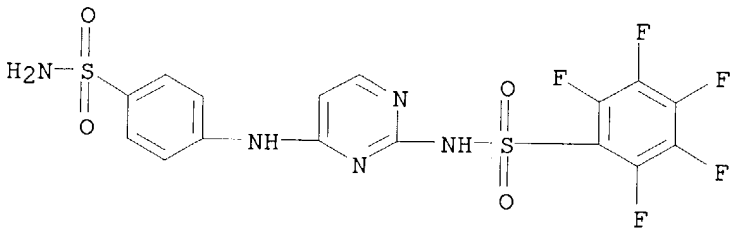
L7 ANSWER 6 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:28891 CAPLUS
DN 138:44677
TI Perfluoro sulfonamide derivatives for use as carbonic anhydrase inhibitors in topical treatment of glaucoma
IN Supuran, Claudiu Trandafir; Scozzafava, Andrea; Menabuoni, Luca; Mincione, Francesco; Briganti, Fabrizio; Mincione, Giovanna
PA Farmigea S.p.A., Italy
SO Ital., 42 pp.
CODEN: ITXXBY
DT Patent
LA Italian
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI IT 1306161	B1	20010530	IT 1999-RM414	19990625
PRAI IT 1999-RM414		19990625		

OS MARPAT 138:44677
AB More than 100 perfluoro sulfonamide derivs. were screened for carbonic anhydrase-inhibitory activity and suitability for use in topical treatment of glaucoma.
IT **316826-91-2**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(perfluoro sulfonamide derivs. for use as carbonic anhydrase inhibitors in topical treatment of glaucoma)
RN 316826-91-2 CAPLUS
CN 1,3,4-Thiadiazole-2-sulfonamide, 5-[[(pentafluorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



L7 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:673851 CAPLUS
DN 138:214865
TI Carbonic anhydrase inhibitors with strong topical antiglaucoma properties incorporating a 4-(2-amino-pyrimidin-4-yl-amino)-benzenesulfonamide scaffold
AU Casini, Angela; Mincione, Francesco; Vullo, Daniela; Menabuoni, Luca; Scozzafava, Andrea; Supuran, Claudiu T.
CS Universita degli Studi di Firenze, Polo Scientifico, Laboratorio di Chimica Bioinorganica, Florence, I-50019, Italy
SO Journal of Enzyme Inhibition and Medicinal Chemistry (2002), 17(1), 9-18
CODEN: JEIMAZ; ISSN: 1475-6366
PB Taylor & Francis Ltd.
DT Journal
LA English
OS CASREACT 138:214865
AB Reaction of 4-(2-amino-pyrimidin-4-yl-amino)-benzene-sulfonamide with alkyl/aryl-sulfonyl halides, acyl halides or arysulfonyl isocyanates afforded a series of derivs. which were tested for inhibition of three carbonic anhydrase (CA) isoenzymes. These compds. were designed in such a way as to (i) strongly inhibit several CA isoenzymes involved in aqueous humor secretion within the eye (such as CA II and CA IV), and (ii) to possess a pharmacol. profile that allows easy penetration through the cornea, when administered as eye drops in solution or suspension, constituting thus a valuable therapeutic approach for glaucoma. Several of the obtained inhibitors showed low nanomolar affinities for the two isoenzymes involved in aqueous humor secretion, CA II and CA IV. Furthermore, in normotensive and hypertensive rabbits, some of them showed an effective and prolonged intraocular pressure (IOP) lowering when administered topically, as 2% suspensions/solns.
IT **316826-98-9P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(carbonic anhydrase inhibitors with strong topical antiglaucoma properties incorporating a 4-(2-amino-pyrimidin-4-yl-amino)-benzenesulfonamide scaffold)
RN 316826-98-9 CAPLUS
CN Benzenesulfonamide, N-[4-[[4-(aminosulfonyl)phenyl]amino]-2-pyrimidinyl]-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)

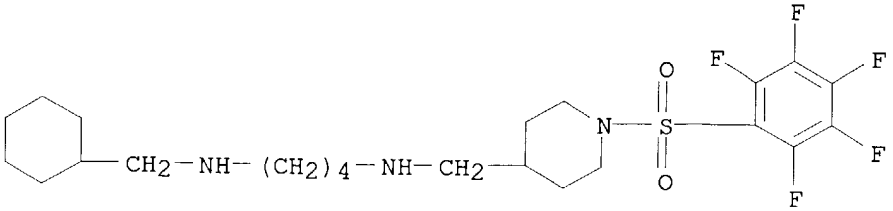


RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:113840 CAPLUS
DN 136:167283
TI Preparation of acetylpiperidinebutanediamines as calcium ion-permeable AMPA receptor antagonists
IN Mimura, Tetsuya; Kawajiri, Shinichi
PA Daiichi Seiyaku Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 93 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2002047272	A2	20020212	JP 2000-225300	20000726
PRAI	JP 2000-225300		20000726		

OS MARPAT 136:167283
AB The compds. I (R1 = aryl, arylcarbonyl, aryloxy, cycloalkyl heterocyclyl, etc.; X = single bond, (un)substituted alkyl, alkenyl, cycloalkyl, monocyclic heterocyclyl; G = CO, SO2; n = 0-3; A = NR2, O, S, single bond; R2 = H, alkyl, OH; Y = alkylene, alkynylene, alkenylene; Q = NR3R4, OR5, SR5; R3, R4 = H, alkyl, cycloalkyl, aralkyl, etc.; R5 = alkyl, cycloalkyl, aryl, heterocyclyl, etc.), their salts, and solvates are prepared The compds. are useful for cerebral infarction, senile dementia, Alzheimer's, disease, Parkinson disease, and huntington's disease. Cyclohexanol was reacted with with oxalyl chloride in the presence of DMSO and Et3N in CH2Cl2 at -78° for 30 min and reacted with 4-[N-(4-aminobutyl)-N-(tert-butoxycarbonyl)aminomethyl]-1-(1-naphthylacetyl)piperidine for 1 h to give 82% N-(tert-butoxycarbonyl)-N'-cyclohexylmethyl-N-[1-(1-naphthylacetyl)piperidin-4-ylmethyl]-1,4-butanediamine, which was treated with HCl in EtOH at room temperature for 5 h to give N-cyclohexylmethyl-N'-[1-(1-naphthylacetyl)piperidin-4-ylmethyl]-1,4-butanediamine hydrochloride showing good AMPA receptor blocking activity in vitro.
IT **396072-50-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acetylpiperidinebutanediamines as calcium ion-permeable AMPA receptor antagonists)
RN 396072-50-7 CAPLUS
CN 4-Piperidinemethanamine, N-[4-[(cyclohexylmethyl)amino]butyl]-1-[(pentafluorophenyl)sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)



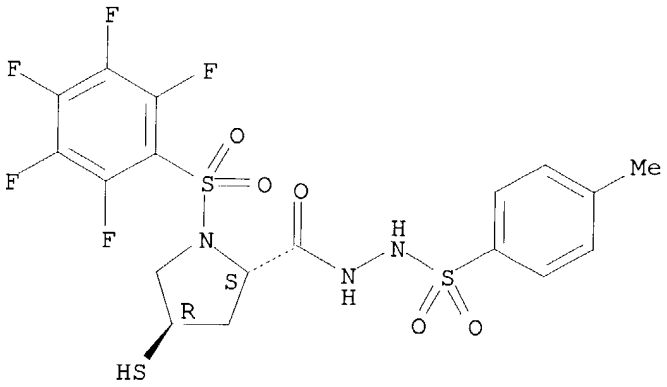
●2 HCl

L7 ANSWER 9 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:72039 CAPLUS
DN 136:118380
TI Pyrrolidine-2-carboxylic acid hydrazide derivatives for use as metalloprotease inhibitors
IN Aebi, Johannes; Dehmlow, Henrietta; Kitas, Eric Argirios
PA F. Hoffmann-La Roche A.-G., Switz.
SO PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002006224	A1	20020124	WO 2001-EP7995	20010711
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002040048	A1	20020404	US 2001-900350	20010706
	US 6444829	B2	20020903		
	EP 1317428	A1	20030611	EP 2001-954031	20010711
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001012543	A	20030701	BR 2001-12543	20010711
	JP 2004504298	T2	20040212	JP 2002-512130	20010711
PRAI	EP 2000-114948	A	20000719		
	WO 2001-EP7995	W	20010711		

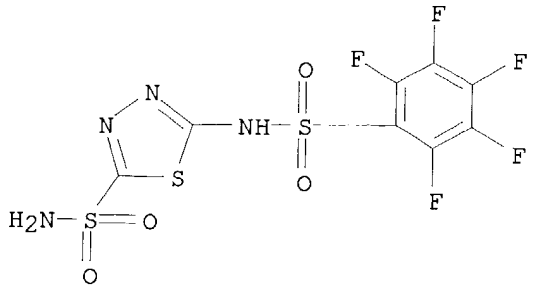
OS MARPAT 136:118380
AB Title compds. I [R1 = H, acyl; R2 = (un)substituted alkyl, cycloalkyl, akynyl, aryl, heterocyclic; R3 = H, aryl, alkyl, aralkyl, arylsulfonyl, heteroarylsulfonyl; R4 = H, aralkyl, alkyl, aryl, cycloalkyl, cycloalkylalkyl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl, heteroarylsulfonyl, carboxyalkyl, carboxyalkylsulfonyl, alkoxycarbonyalkyl; NR4R5, R3NNR4R5 = heterocyclic; R5 = H, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, alkoxycarbonyl, aryloxycarbonyl, heteroaryloxycarbonyl, acyl, heterocyclyl, (un)substituted aminosulfonyl, aminoalkylcarbonyl, arylcarbamoyl, alkyl, acyl, alkoxycarbonyl, aryl, aralkyl, arylalkoxycarbonyl, heteroaryl; X = SO2, SO2NH, CO, (un)substituted CONH, CO2] were prepared for use as inhibitors of metalloproteases, e.g. zinc proteases, particularly zinc hydrolases, and are effective in treating disease states are associated with vasoconstriction of increasing occurrences. Thus, (2S,4R)-I [X = SO2, R1, R3 = H, R2 = 2-naphthyl, NR4R5 = 2-oxopyrrolidino] was prepared from L-hydroxyproline Me ester hydrochloride in 7 steps.
IT **391673-73-7P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidine-2-carboxylic acid hydrazide derivs. for use as metalloprotease inhibitors)
RN 391673-73-7 CAPLUS
CN L-Proline, 4-mercapto-1-[(pentafluorophenyl)sulfonyl]-, 2-[(4-methylphenyl)sulfonyl]hydrazide, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

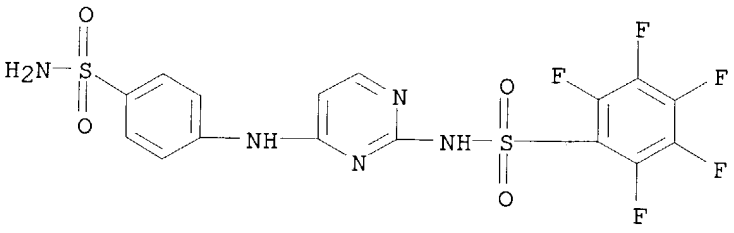


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

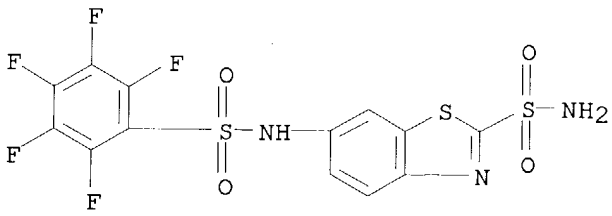
L7 ANSWER 10 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:854928 CAPLUS
DN 136:128594
TI Development of quantitative structure-activity relationship and classification models for a set of carbonic anhydrase inhibitors
AU Mattioni, Brian E.; Jurs, Peter C.
CS Department of Chemistry, The Pennsylvania State University, University Park, PA, 16802, USA
SO Journal of Chemical Information and Computer Sciences (2002), 42(1), 94-102
CODEN: JCISD8; ISSN: 0095-2338
PB American Chemical Society
DT Journal
LA English
AB Math. models are developed to find quant. structure-activity relationships that correlate chemical structure and inhibition toward three carbonic anhydrase (CA) isoenzymes: CA I, II, and IV. Numerical descriptors are generated to encode important topol., geometric, and electronic features of mol. structure. After descriptor generation, multiple linear regression, and computational neural network (CNN) analyses are performed on various descriptor subsets to find superior models for prediction. Committees of five CNNs were utilized to average final predicted values for the 142-compound data set. For inhibitors of CA I, an 8-5-1 CNN committee produced a training set rms error of 0.105 log Ki (r2 = 0.994) and prediction set rms error of 0.208 log Ki (r2 = 0.980). Training and prediction set rms errors of 0.140 log Ki (r2 = 0.992) and 0.231 log Ki (r2 = 0.971), resp., were produced by a 9-5-1 CNN committee for inhibitors of CA II. For prediction of CA IV inhibitors, an 8-5-1 CNN committee produced training and prediction set rms errors of 0.147 log Ki (r2 = 0.992) and 0.211 log Ki (r2 = 0.991), resp. In addition, classification models were built using k-nearest neighbor (kNN) anal. to solve two- and three-class problems for inhibitors of CA IV. A three-descriptor classification model proved superior in labeling compds. as active or inactive inhibitors for the two-class problem. Training and prediction set percent classification rates of 100% and 87.1%, resp., were obtained. For the three-class (active/moderate/inactive) problem, a five-descriptor model was deemed optimal producing a training set percent classification rate of 98.8% and prediction set rate of 79.0%.
IT **316826-91-2 316826-98-9 316826-99-0**
RL: BSU (Biological study, unclassified); CUS (Combinatorial use); BIOL (Biological study); CMBI (Combinatorial study); USES (Uses)
(development of QSAR and classification models for set of carbonic anhydrase inhibitors)
RN 316826-91-2 CAPLUS
CN 1,3,4-Thiadiazole-2-sulfonamide, 5-[[(pentafluorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 316826-98-9 CAPLUS
CN Benzenesulfonamide, N-[4-[[4-(aminosulfonyl)phenyl]amino]-2-pyrimidinyl]-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)

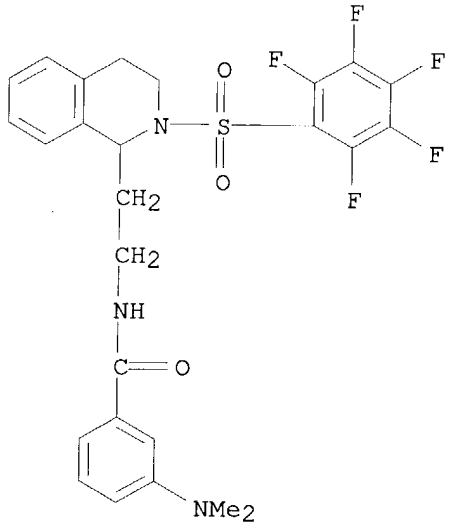


RN 316826-99-0 CAPLUS
CN 2-Benzothiazolesulfonamide, 6-[[(pentafluorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

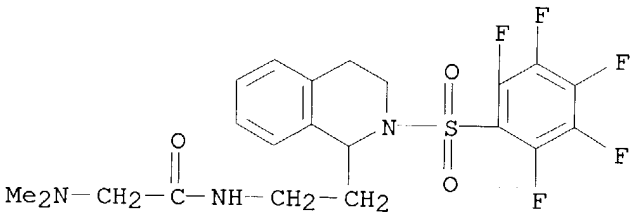


RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:667322 CAPLUS
DN 136:95568
TI Parallel synthesis and biological activity of a new class of high affinity and selective δ-opioid ligand
AU Barn, D. R.; Caulfield, W. L.; Cottney, J.; McGurk, K.; Morphy, J. R.; Rankovic, Z.; Roberts, B.
CS Organon Laboratories Ltd., Newhouse, ML1 5SH, UK
SO Bioorganic & Medicinal Chemistry (2001), 9(10), 2609-2624
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
AB A considerable number of research papers describing the synthesis and testing of the delta opioid receptor (DOR) ligands, SNC-80 and TAN-67, and analogs of these two compds., have been published in recent years. However, there have been few reports of the discovery of completely new structural classes of selective DOR ligand. By optimizing a hit compound identified by high throughput screening, a new series of tetrahydroisoquinoline sulfonamide-based delta opioid ligands was discovered. The main challenge in this series was to simultaneously improve both affinity and physicochem. properties, notably aqueous solubility The most active ligand had an affinity (IC50) of 6 nM for the cloned human DOR, representing a 15-fold improvement relative to the original hit I (IC50 98 nM). Compds. from this new series show good selectivity for the DOR over μ and κ opioid receptors. However the most active and selective compds. had poor aqueous solubility Improved aqueous solubility was obtained by replacing the phthalimide group in I by basic groups, allowing the synthesis of salt forms. A series of compds. with improved affinity and solubility relative to I was identified and these compds. showed activity in an in vivo model of antinociception, the formalin paw test. In the case of compound II, this analgesic activity was shown to be mediated primarily via a DOR mechanism. The most active compound in vivo, III, showed superior potency in this test compared to the reference DOR ligand, TAN-67 and similar potency to morphine (68% and 58% inhibition in Phases 1 and 2, resp., at a dose of 10 mmol/kg i.v.).
IT **388625-79-4P 388626-06-0P 388626-50-4P**
388626-98-0P 388627-46-1P 388627-93-8P
388628-26-0P 388628-59-9P 388628-85-1P
388629-12-7P 388629-38-7P 388629-67-2P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(parallel synthesis and biol. activity of a new class of high affinity and selective δ-opioid ligand)
RN 388625-79-4 CAPLUS
CN Benzamide, 3-(dimethylamino)-N-[2-[1,2,3,4-tetrahydro-2-[(pentafluorophenyl)sulfonyl]-1-isoquinolinyl]ethyl]- (9CI) (CA INDEX NAME)

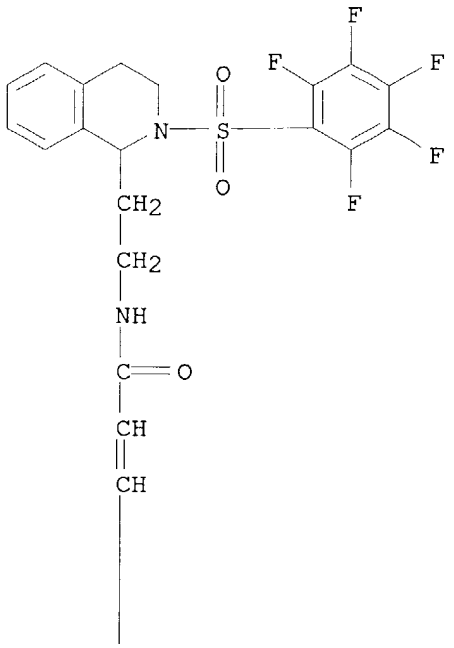


RN 388626-06-0 CAPLUS
CN Acetamide, 2-(dimethylamino)-N-[2-[1,2,3,4-tetrahydro-2-[(pentafluorophenyl)sulfonyl]-1-isoquinolinyl]ethyl]- (9CI) (CA INDEX NAME)

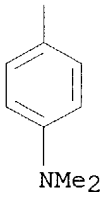


RN 388626-50-4 CAPLUS
CN 2-Propenamide, 3-[4-(dimethylamino)phenyl]-N-[2-[1,2,3,4-tetrahydro-2-[(pentafluorophenyl)sulfonyl]-1-isoquinolinyl]ethyl]- (9CI) (CA INDEX NAME)

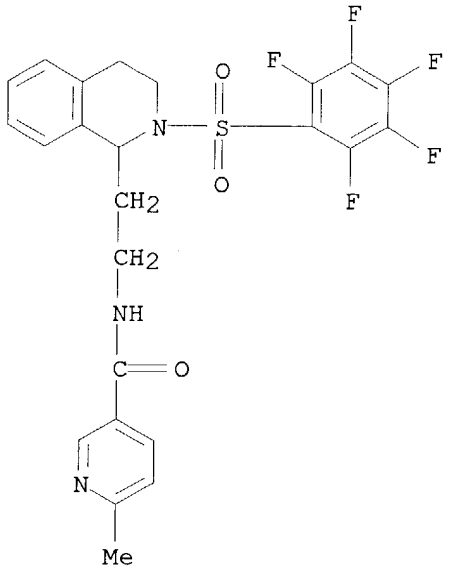
PAGE 1-A



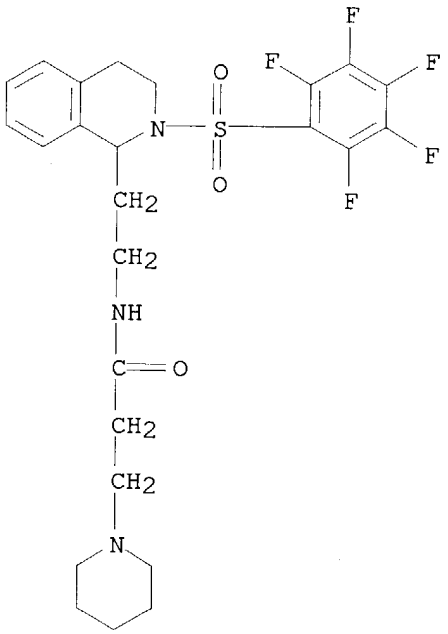
PAGE 2-A



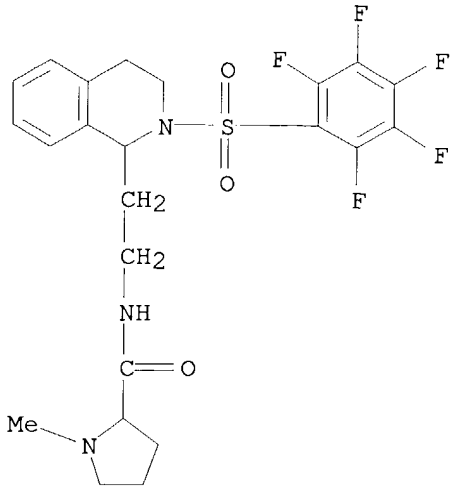
RN 388626-98-0 CAPLUS
CN 3-Pyridinecarboxamide, 6-methyl-N-[2-[1,2,3,4-tetrahydro-2-[(pentafluorophenyl)sulfonyl]-1-isoquinolinyl]ethyl]- (9CI) (CA INDEX NAME)



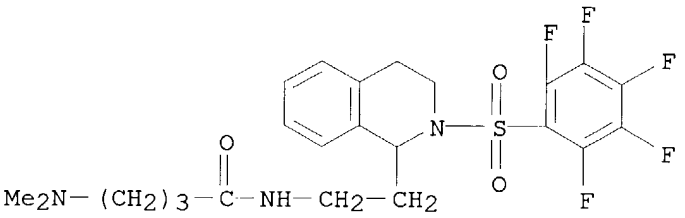
RN 388627-46-1 CAPLUS
CN 1-Piperidinepropanamide, N-[2-[1,2,3,4-tetrahydro-2-[(pentafluorophenyl)sulfonyl]-1-isoquinolinyl]ethyl]- (9CI) (CA INDEX NAME)



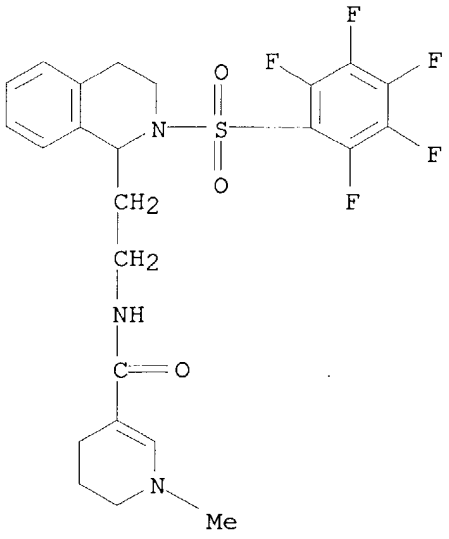
RN 388627-93-8 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-methyl-N-[2-[1,2,3,4-tetrahydro-2-[(pentafluorophenyl)sulfonyl]-1-isoquinolinyl]ethyl]- (9CI) (CA INDEX NAME)



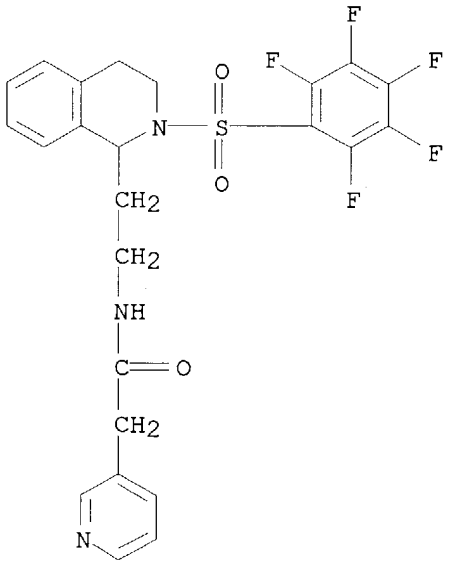
RN 388628-26-0 CAPLUS
CN Butanamide, 4-(dimethylamino)-N-[2-[1,2,3,4-tetrahydro-2-[(pentafluorophenyl)sulfonyl]-1-isoquinolinyl]ethyl]- (9CI) (CA INDEX NAME)



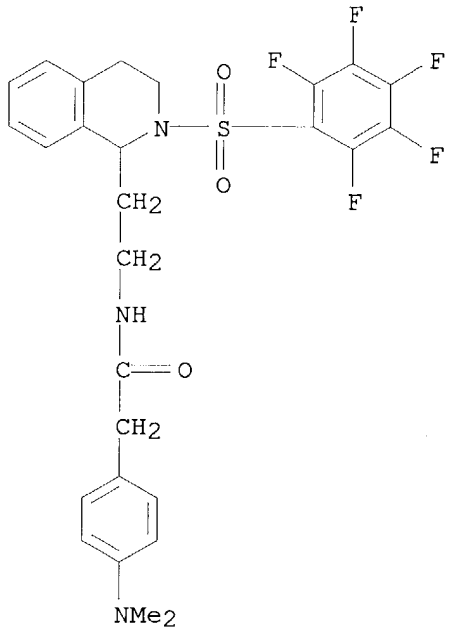
RN 388628-59-9 CAPLUS
CN 3-Pyridinecarboxamide, 1,4,5,6-tetrahydro-1-methyl-N-[2-[1,2,3,4-tetrahydro-2-[(pentafluorophenyl)sulfonyl]-1-isoquinolinyl]ethyl]- (9CI) (CA INDEX NAME)



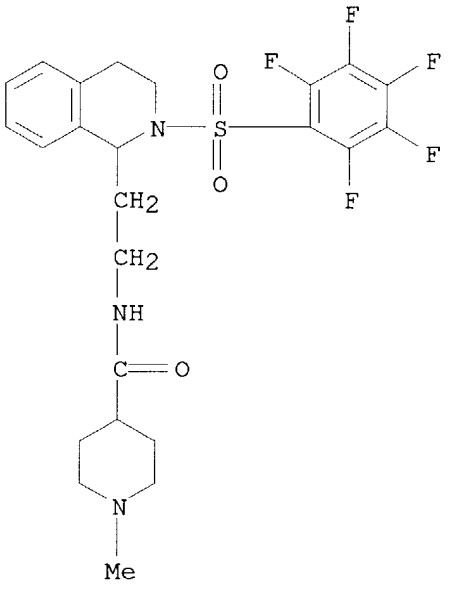
RN 388628-85-1 CAPLUS
CN 3-Pyridineacetamide, N-[2-[1,2,3,4-tetrahydro-2-[(pentafluorophenyl)sulfonyl]-1-isoquinolinyl]ethyl]- (9CI) (CA INDEX NAME)



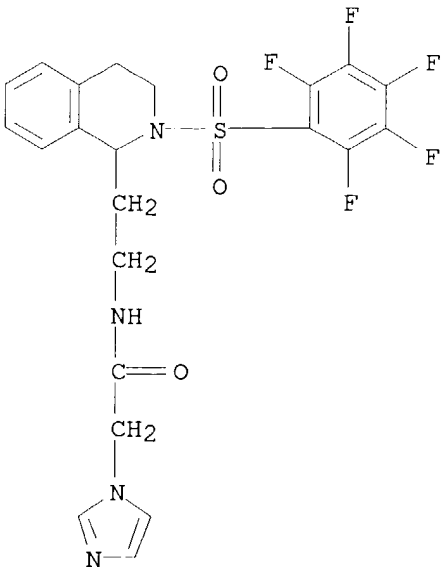
RN 388629-12-7 CAPLUS
CN Benzeneacetamide, 4-(dimethylamino)-N-[2-[1,2,3,4-tetrahydro-2-[(pentafluorophenyl)sulfonyl]-1-isoquinolinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 388629-38-7 CAPLUS
CN 4-Piperidinecarboxamide, 1-methyl-N-[2-[1,2,3,4-tetrahydro-2-[(pentafluorophenyl)sulfonyl]-1-isoquinolinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 388629-67-2 CAPLUS
CN 1H-Imidazole-1-acetamide, N-[2-[1,2,3,4-tetrahydro-2-[(pentafluorophenyl)sulfonyl]-1-isoquinolinyl]ethyl]- (9CI) (CA INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:265385 CAPLUS
DN 134:295739
TI Preparation of N-aryl-N-(heterocyclylalkyl)piperidinecarboxamides as CCR5 antagonists
IN Imamura, Shinichi; Hashiguchi, Shohei; Hattori, Taeko; Nishimura, Osamu; Kanzaki, Naoyuki; Baba, Masanori; Sugihara, Yoshihiro
PA Takeda Chemical Industries, Ltd., Japan
SO PCT Int. Appl., 392 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001025200	A1	20010412	WO 2000-JP6755	20000929
	W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 2000074487	A5	20010510	AU 2000-74487	20000929
	JP 2001302633	A2	20011031	JP 2000-302841	20000929
	BR 2000014428	A	20020611	BR 2000-14428	20000929
	EP 1220842	A1	20020710	EP 2000-962967	20000929
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003048880	A2	20030221	JP 2002-180545	20000929
	NO 2002001450	A	20020603	NO 2002-1450	20020322
	US 6562978	B1	20030513	US 2002-89374	20020329
	ZA 2002002593	A	20030403	ZA 2002-2593	20020403
	US 2003114443	A1	20030619	US 2002-273111	20021018
PRAI	JP 1999-282088	A	19991001		
	JP 2000-46749	A	20000218		
	JP 2000-302841	A3	20000929		
	WO 2000-JP6755	W	20000929		
	US 2002-89374	A3	20020329		

OS MARPAT 134:295739
AB Title compds. (I) [wherein R1 = H, (un)substituted hydrocarbon or nonarom. heterocycle; R2 = (un)substituted hydrocarbon or nonarom. heterocycle; or R1 and R2 together with A form an (un)substituted heterocycle; A = N or N+(R5)•Y-; R5 = hydrocarbon; Y- = counteranion; R3 = (un)substituted (hetero)cycle; n = 0 or 1; R4 = H or (un)substituted hydrocarbon, heterocycle, alkoxy, aryloxy, or amino group; E = (un)substituted divalent aliphatic hydrocarbon; G1 = a bond, CO, or SO2; G2 = CO, SO2, NHCO, CONH, or OCO; J = CH or N; Q and R = independently a bond or (un)substituted divalent aliphatic hydrocarbon; provided that J = CH when G2 = OCO, that 1 of Q and R is not a bond when the other is a bond, and that each of Q and R is not substituted by oxo group(s) when G1 is a bond; or a salt thereof] were prepared as potent chemokine receptor CCR5 antagonists. I are useful for the treatment or prevention of the HIV disease in humans (e.g. AIDS). For example, II•HCl was synthesized in 34% yield in a 2-step process involving addition of TFA to a solution of 1-tert-butoxycarbonyl-4-(2-benzothiazolylthio)piperidine in CH2Cl2, followed by addition of AcCN, 1-acetyl-N-(3-chlorophenyl)-N-(3-chloropropyl)-4-piperidinecarboxamide,

K2CO3, and KI to the residue and workup. II•HCl showed 96% inhibition of HIV-1 infection in transformant MAGI-CCR5 cells. In addition, 42 example compds. were tested and gave inhibition rates of 82% to 100% at 1.0 μM in a CCR5 antagonistic activity assay.

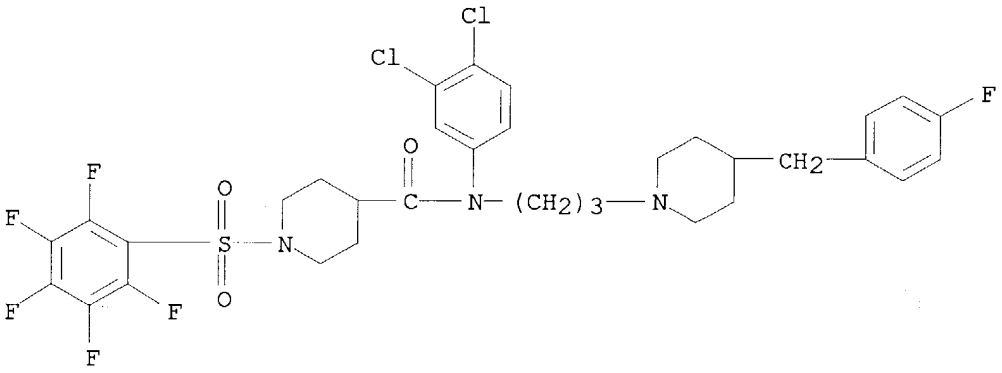
IT **333990-21-9P**, N-(3,4-Dichlorophenyl)-N-[3-[4-(4-fluorobenzyl)-1-piperidinyl]propyl]-1-(2,3,4,5,6-pentafluorophenylsulfonyl)-4-piperidinecarboxamide trifluoroacetate
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-aryl-N-(heterocyclylalkyl)piperidinecarboxamide CCR5 antagonists by amidation of N-(arylheterocyclyl)alkylamines or addition of heterocycles to N-aryl-N-(haloalkyl)piperidinecarboxamides)

RN 333990-21-9 CAPLUS

CN 4-Piperidinecarboxamide, N-(3,4-dichlorophenyl)-N-[3-[4-[(4-fluorophenyl)methyl]-1-piperidinyl]propyl]-1-[(pentafluorophenyl)sulfonyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

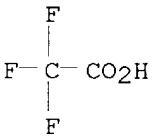
CM 1

CRN 333990-20-8
CMF C33 H33 Cl2 F6 N3 O3 S



CM 2

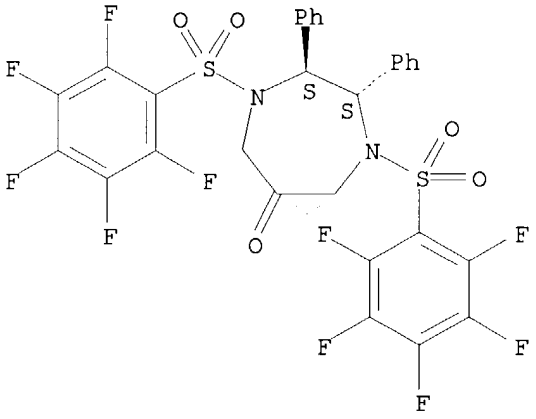
CRN 76-05-1
CMF C2 H F3 O2



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

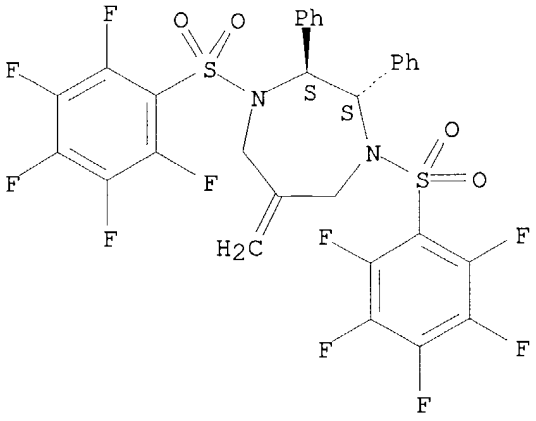
L7 ANSWER 13 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:186518 CAPLUS
DN 135:5487
TI Chiral ketone-catalyzed asymmetric epoxidation of stilbene with Oxone
AU Matsumoto, Koichiro; Tomioka, Kiyoshi
CS Graduate School of Pharmaceutical Sciences, Kyoto University, Kyoto, 606-8501, Japan
SO Heterocycles (2001), 54(2), 615-617
CODEN: HTCYAM; ISSN: 0385-5414
PB Japan Institute of Heterocyclic Chemistry
DT Journal
LA English
OS CASREACT 135:5487
AB Chiral 7-membered ketones bearing a 1,2-ethylenediamine backbone were synthesized and examined for their catalytic behavior in the asym. epoxidn. of stilbene with Oxone.
IT **340964-37-6P**
RL: CAT (Catalyst use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(asym. epoxidn. of stilbene with Oxone catalyzed by chiral methylenediphenyldiazepinones)
RN 340964-37-6 CAPLUS
CN 6H-1,4-Diazepin-6-one, hexahydro-1,4-bis[(pentafluorophenyl)sulfonyl]-2,3-diphenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **433283-39-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. epoxidn. of stilbene with Oxone catalyzed by chiral methylenediphenyldiazepinones)
RN 433283-39-7 CAPLUS
CN 1H-1,4-Diazepine, hexahydro-6-methylene-1,4-bis[(pentafluorophenyl)sulfonyl]-2,3-diphenyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



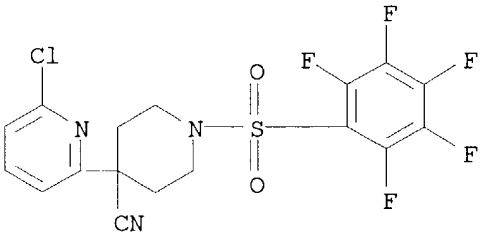
RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:185723 CAPLUS
DN 134:222633
TI Cyanopiperidines as pesticides
IN Hueter, Ottmar Franz; Lutz, William; Renold, Peter; Steiger, Arthur; Zambach, Werner
PA Syngenta Participations A.-G., Switz.
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

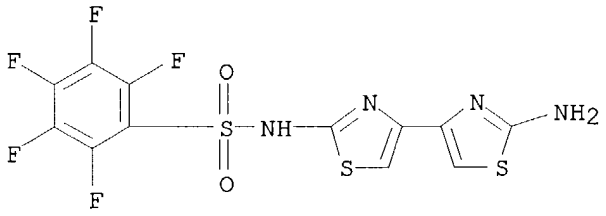
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001017965	A2	20010315	WO 2000-EP8660	20000905
WO 2001017965	A3	20030417		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

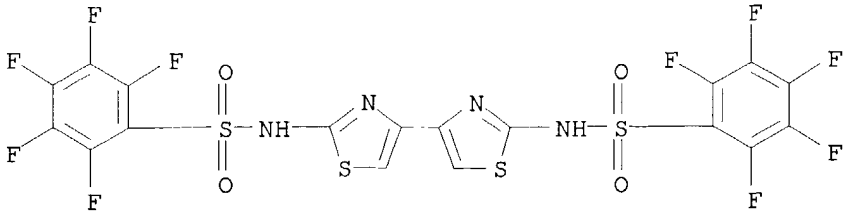
PRAI CH 1999-1639 A 19990907
OS MARPAT 134:222633
AB Cyanopiperidines I [R1 = (un)substituted heterocyclyl; R2 = H, CN, OH, CHO, (un)substituted alkyl, alkenyl, arylamino, alkylarylamino, etc.; R3 = halo, OH, COOH, CN, alkyl, haloalkyl, cycloalkyl, etc.; A = (un)substituted C1-C2 alkylene; n = 0, 1; m = 0, 1, 2, 3, 4] were prepared as insecticides, acaricides, and nematocides. Thus, 54 mg of 4-(5-chloro-3-pyridinyl)-4-piperidinecarbonitrile (obtained in 4 steps starting from 1-methyl-4-piperidinone and methylene isocyanate), 34 mg of 1-bromo-3-fluoropropane, and 31 mg of Hunig base are stirred in 6 mL of THF 48 h at 60° to give 32 mg of 4-(5-chloro-3-pyridinyl)-1-(3-fluoropropyl)-4-piperidinecarbonitrile. Qual. pesticidal test results were given.
IT **329370-22-1P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(piperidinecarbonitriles as pesticides)
RN 329370-22-1 CAPLUS
CN 4-Piperidinecarbonitrile, 4-(6-chloro-2-pyridinyl)-1-[(pentafluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 15 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:80778 CAPLUS
DN 134:277808
TI The antifungal activity of 2,2'-diamino-4,4'-dithiazole derivatives is due to the possible inhibition of lanosterol-14-α-demethylase
AU Scozzafava, Andrea; Nicolae, Anca; Maior, Ovidiu; Briganti, Fabrizio; Supuran, Claudiu T.
CS Universita degli Studi, Laboratorio di Chimica Inorganica e Bioinorganica, Florence, 50121, Italy
SO Journal of Enzyme Inhibition (1998), 14(1), 49-68
CODEN: ENINEG; ISSN: 8755-5093
PB Harwood Academic Publishers
DT Journal
LA English
AB Aryl/alkyl sulfonylamido-, arylsulfenylamido-, arylcarboxamido- and ureido/thioureido/guanidino derivs. of 2,2'-diamino-4,4'-dithiazole were prepared by reaction of the title compound with sulfonyl/sulfenyl halides, sulfonic acid anhydrides, acyl chlorides, tosyl isocyanate, aryl/allyl isocyanates or isothiocyanates. Mono- as well as bis-derivatized compds. have been obtained. Several of the newly synthesized compds. act as effective antifungal agents against Aspergillus and Candida spp., some of them showed activities comparable to ketoconazole (with min. inhibitory concns. in the range of 0.2-1.8 μg/mL) but possessed lower activity as compared to itraconazole. Greatest activity was detected against A. niger, and least activity against C. albicans. The mechanism of action of these compds. probably involves inhibition of ergosterol biosynthesis, and interaction with lanosterol-14-α-demethylase (CYP51A1), since reduced amts. of ergosterol were found by HPLC in cultures of the sensitive strain A. niger treated with some of these inhibitors. Thus, the compds. reported here and the azole antifungal derivs. might possess a similar mechanism of action at mol. level.
IT **332351-11-8P 332351-28-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antifungal activity of diaminodithiazole derivs. is due to possible inhibition of lanosterol demethylase in relation to structure)
RN 332351-11-8 CAPLUS
CN Benzenesulfonamide, N-(2'-amino[4,4'-bithiazol]-2-yl)-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)



RN 332351-28-7 CAPLUS
CN Benzenesulfonamide, N,N'-[4,4'-bithiazole]-2,2'-diylbis[2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)



RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:861677 CAPLUS
DN 134:29437
TI Novel oxazaheterocycles as protease inhibitors
IN Wang, Aihua; Lu, Tianbao; Tomczuk, Bruce E.; Soll, Richard M.; Spurlino, John; Bone, Roger
PA 3-Dimensional Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

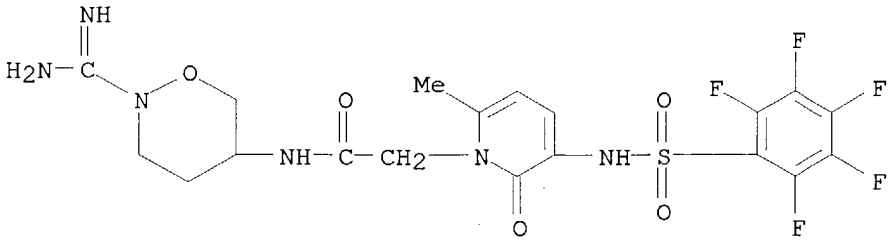
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000073302	A1	20001207	WO 2000-US14553	20000526
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6326492	B1	20011204	US 2000-578487	20000526
	EP 1189901	A1	20020327	EP 2000-932792	20000526
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2003501352	T2	20030114	JP 2001-500627	20000526
PRAI	US 1999-136386P	P	19990527		
	WO 2000-US14553	W	20000526		

AB The invention discloses proteolytic enzyme inhibitors of formula I [R1 = (un)substituted alkyl, cycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, aryl, arylalkyl, heterocycle or heterocycloalkyl; R7 = H, alkyl, or alkenyl; Z = SO2, OCO, CO, NR2CO, or a covalent bond; R2 = H, alkyl, arylalkyl, aryl, hydroxyalkyl, aminoalkyl, etc.; A = Q1, Q2 wherein Ra, Rb, and Rc = independently H, alkyl, OH, alkoxy, aryloxy, arylalkoxy, alkoxycarbonyloxy, CN, or ester; n, m, p = 0-4 provided that all are not zero; X = Q3, Q4, Q5 wherein R3, R4, and R5 = independently H, alkyl, cycloalkyl, alkenyl, alkynyl, halo, CF3, NO2, (un)substituted aryl, arylalkyl, etc.; R6 = H, alkyl, aryl, arylalkyl, cyanoalkyl, etc.] as well as hydrates, solvates or pharmaceutically acceptable salts thereof, and methods of preparation Compound II·TFA demonstrated thrombin inhibitory activity (sic) of 0.38 nM. The compds. of the invention are potent inhibitors of proteases, especially trypsin-like serine proteases, such as chymotrypsin, trypsin, thrombin, plasmin and factor Xa. Certain of the compds. exhibit antithrombotic activity via direct, selective inhibition of thrombin. The invention includes a composition for inhibiting loss of blood platelets, inhibiting formation of blood platelet aggregates, inhibiting formation of fibrin, inhibiting thrombus formation, and inhibiting embolus formation in a mammal, comprising a compound of the invention in a pharmaceutically acceptable carrier. Other uses of compds. of the invention are as anticoagulants either embedded in or phys. linked to materials used in the manufacture of devices used in blood collection, blood circulation, and blood storage, such as catheters, blood dialysis machines, blood collection syringes and tubes, blood lines and stents. Addnl., the compds. can be detectably labeled and employed for in vivo imaging of thrombi.

IT **311811-69-5P 311812-32-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and biol. activity of oxazaheterocycles as protease inhibitors)

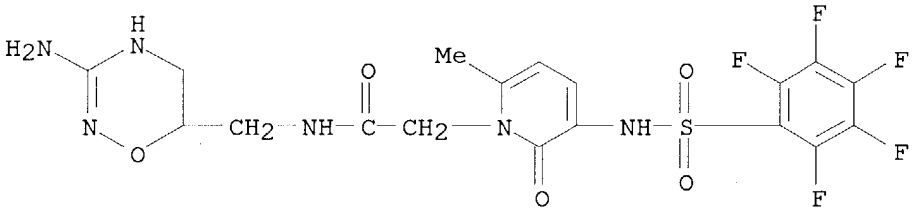
RN 311811-69-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-(aminoiminomethyl)tetrahydro-2H-1,2-oxazin-5-yl]-6-methyl-2-oxo-3-[[(pentafluorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



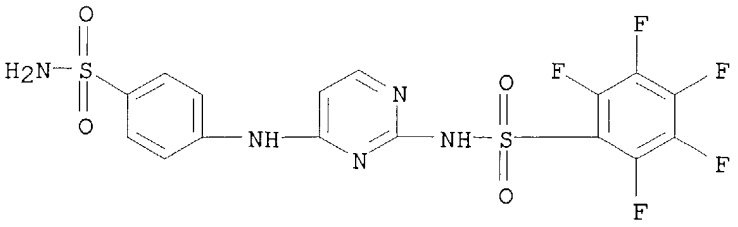
RN 311812-32-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(3-amino-5,6-dihydro-2H-1,2,4-oxadiazin-6-yl)methyl]-6-methyl-2-oxo-3-[[(pentafluorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

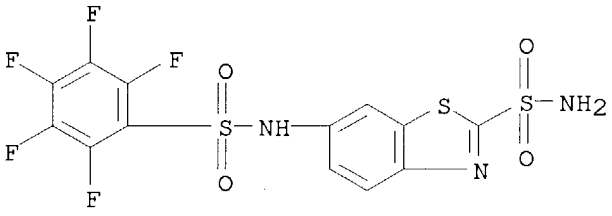


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

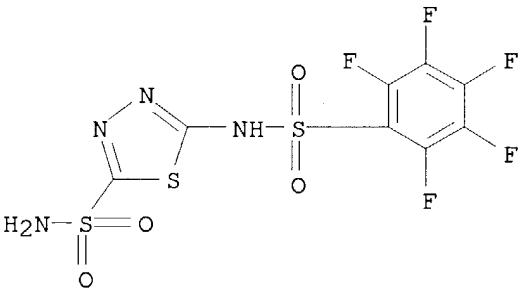
L7 ANSWER 17 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:758792 CAPLUS
DN 134:86203
TI Carbonic Anhydrase Inhibitors: Perfluoroalkyl/Aryl-Substituted Derivatives of Aromatic/Heterocyclic Sulfonamides as Topical Intraocular Pressure-Lowering Agents with Prolonged Duration of Action
AU Scozzafava, Andrea; Menabuoni, Luca; Mincione, Francesco; Briganti, Fabrizio; Mincione, Giovanna; Supuran, Claudiu T.
CS Laboratorio di Chimica Inorganica e Bioinorganica, Universita degli Studi di Firenze, Florence, I-50121, Italy
SO Journal of Medicinal Chemistry (2000), 43(23), 4542-4551
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB Reaction of perfluoroalkyl/arylsulfonyl chlorides or perfluoroalkyl/arylcarbonyl chlorides with aromatic/heterocyclic sulfonamides possessing a free amino/imino/hydrazino/hydroxy group afforded compds. with the general formula CxFyZ-A-SO2NH2, where Z = SO2NH, SO3, CONH, or CO2 and A = aromatic/heterocyclic moiety. The sulfonyl chlorides used in synthesis included: CF3SO2Cl, n-C4F9SO2Cl, n-C8F17SO2Cl, and C6F5SO2Cl, whereas the acyl chlorides were C8F17COCl and C6F5COCl. A total of 25 different sulfonamides have been derivatized by means of the above-mentioned perfluorosulfonyl/acyl halides. These new series of sulfonamides showed strong affinities toward isoenzymes I, II, and IV of carbonic anhydrase (CA). For a given sulfonamide derivatized by the above procedures, inhibitory power was greater for the alkyl/arylsulfonylated compds., as compared to the corresponding perfluoroalkyl/arylcarbonylated ones. In vitro inhibitory activity generally increased with the number of carbon atoms in the mol. of the acylating/sulfonylating agent, with a maximum for the perfluorophenylsulfonylated and perfluorobenzoylated derivs. Some of the prepared CA inhibitors displayed very good water solubility (in the range of 2%) and strongly lowered intraocular pressure (IOP) when applied topically, directly into the normotensive/glaucomatous rabbit eye, as 2% water solns. The good water solubility of these new classes of CA inhibitors, correlated with the neutral pH of their solns. used in the ophthalmol. applications, makes them attractive candidates for developing novel types of antiglaucoma drugs devoid of unpleasant ocular side effects. Example compds. thus prepared and tested were 4-[[(trifluoromethyl)sulfonyl]amino]benzenesulfonamide 4-[[(nonafluorobutyl)sulfonyl]amino]benzenesulfonamide, 5-[(pentafluorophenylsulfonyl)amino]-1,3,4-thiadiazole-2-sulfonamide, and N-[5-(aminosulfonyl)-3-methyl-1,3,4-thiadiazol-2(3H)-ylidene]pentafluorobenzamide.
IT **316826-98-9 316826-99-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of perfluoroalkyl/aryl-substituted sulfonamide derivs. as topical intraocular pressure-lowering agents with prolonged duration of action (carbonic anhydrase inhibitors))
RN 316826-98-9 CAPLUS
CN Benzenesulfonamide, N-[4-[[4-(aminosulfonyl)phenyl]amino]-2-pyrimidinyl]-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)



RN 316826-99-0 CAPLUS
CN 2-Benzothiazolesulfonamide, 6-[[(pentafluorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

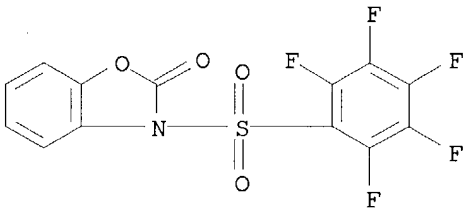


IT **316826-91-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of perfluoroalkyl/aryl-substituted sulfonamide derivs. as topical intraocular pressure-lowering agents with prolonged duration of action (carbonic anhydrase inhibitors))
RN 316826-91-2 CAPLUS
CN 1,3,4-Thiadiazole-2-sulfonamide, 5-[[(pentafluorophenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:632971 CAPLUS
DN 133:321822
TI Synthesis of some 3-acylbenzoxazolinones
AU Ayupova, A. T.; Aliev, N. A.
CS Inst. Khim. Rastitel. Veschestv, AN RUz, Uzbekistan
SO O'zbekiston Kimyo Jurnali (2000), (2), 30-33
CODEN: OKJZA6; ISSN: 0042-1707
PB Izdatel'stvo Fan
DT Journal
LA Russian
OS CASREACT 133:321822
AB Acylation of benzoxazolinone by 3-(trifluoromethyl)phenyl isocyanate, perfluorobenzenesulfonyl chloride, and acid chlorides gave new 3-acylbenzoxazolinones. The reaction of benzoxazolinone with β-methylacryloyl chloride gave both acylation and addition products.
IT **302782-82-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 302782-82-7 CAPLUS
CN 2(3H)-Benzoxazolone, 3-[(pentafluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 19 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:421094 CAPLUS
DN 133:43382
TI Preparation of tubulin-binding agents
IN Clark, David; Frankmoelle, Walter; Houze, Jonathan; Jaen, Juan C.; Medina, Julio C.
PA Tularik Inc., USA
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000035865	A2	20000622	WO 1999-US29968	19991215
	WO 2000035865	A3	20001026		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6433187	B1	20020813	US 1999-464217	19991215
PRAI	US 1998-112613P	P	19981217		

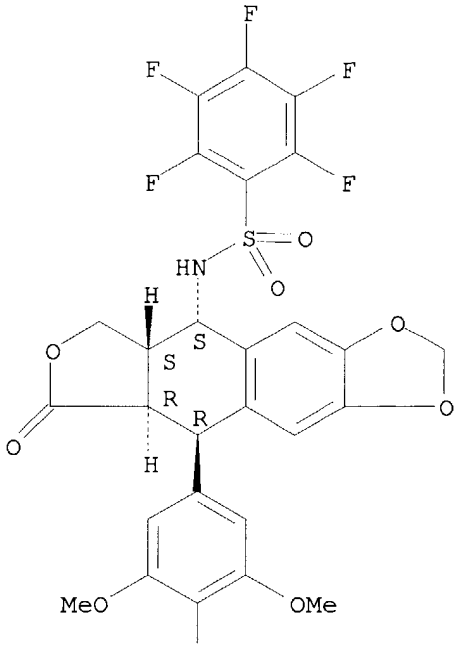
AB Derivs. of known tubulin-binding compds. are prepared in which a (poly)fluorobenzene, a fluoropyridine, or a fluoronitrobenzene moiety is incorporated or added to the structure. These derivs. can be used as antimitotic agents and can be considered covalent modifiers of tubulin (no data). The strategy developed for each of the compds. is to (i) append a fluorinated electrophile (e.g., pentafluorophenylsulfonamido, 2-fluoropyridyl, or 3,5-dinitro-4-fluorophenyl) to an existing functional group in a natural product, (ii) replace an aromatic ring in a natural product with a fluorinated electrophile, or (iii) attach a fluorinated electrophile to an open valence in a portion of the mol. that will not interfere with recognition and binding to the tubulin site. Derivs. are provided based on colchicine, steganacin, podophyllotoxin, nocodazole, combretastatin, curacin A, vinblastine, vincristine, dolastatin, 2-methoxyestradiol, dihydroxy-pentamethoxyflavanone and others. Thus, I is prepared from deacetylcolchicine and pentafluorophenylsulfonyl chloride.

IT **274922-20-2P 274922-22-4P 274922-26-8P**
274922-43-9P 274922-62-2P 274922-64-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fluorinated aromatic natural product derivs. as tubulin-binding agents)

RN 274922-20-2 CAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-[(5S,5aS,8aR,9R)-5,5a,6,8,8a,9-hexahydro-8-oxo-9-(3,4,5-trimethoxyphenyl)furo[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-5-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

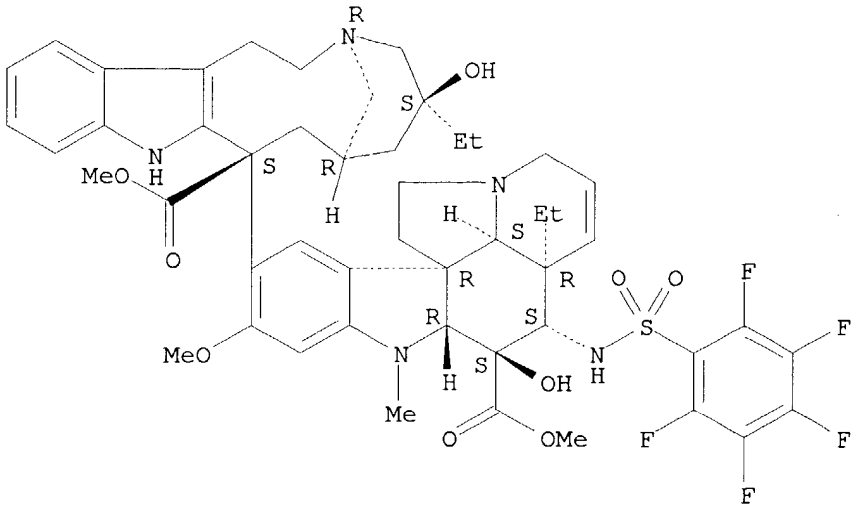
PAGE 1-A



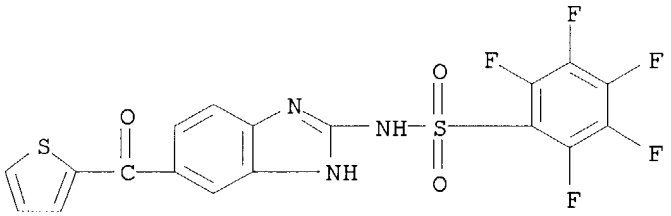
PAGE 2-A

RN 274922-22-4 CAPLUS
CN Vincaleukoblastine, 4-de(acetyloxy)-4-[[(pentafluorophenyl)sulfonyl]amino]-, (4α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

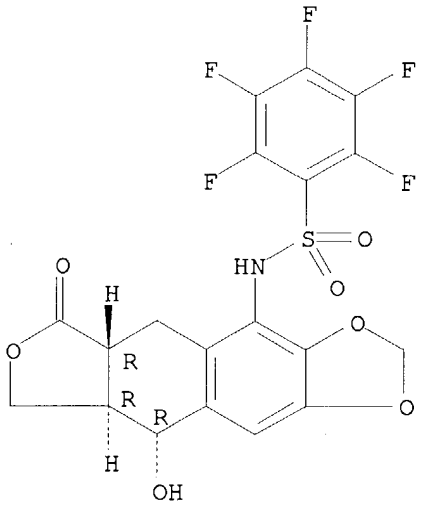


RN 274922-26-8 CAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-[5-(2-thienylcarbonyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



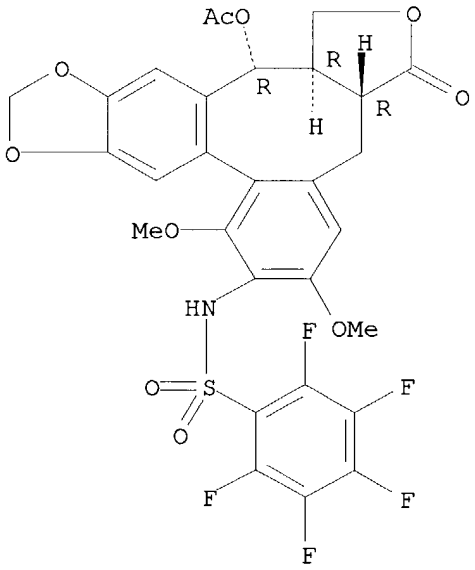
RN 274922-43-9 CAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-[(5aR,8aR,9R)-5,5a,6,8,8a,9-hexahydro-9-hydroxy-6-oxofuro[3',4':6,7]naphtho[2,3-d]-1,3-dioxol-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

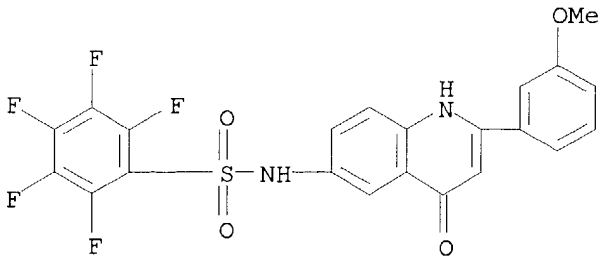


RN 274922-62-2 CAPLUS
CN Benzenesulfonamide, N-[(3aR,14R,14aR)-14-(acetyloxy)-1,3,3a,4,14,14a-hexahydro-6,8-dimethoxy-3-oxobenzo[3,4]furo[3',4':6,7]cycloocta[1,2-f][1,3]benzodioxol-7-yl]-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



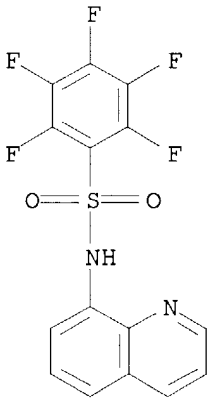
RN 274922-64-4 CAPLUS
CN Benzenesulfonamide, N-[1,4-dihydro-2-(3-methoxyphenyl)-4-oxo-6-quinolinyl]-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)


OMe
F
F
F
F
O
S
NH
H
N
O
O

L7 ANSWER 20 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:356887 CAPLUS
DN 133:10843
TI Organic electroluminescent material
IN Okada, Hisashi
PA Fuji Photo Film Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 29 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000144125	A2	20000526	JP 1999-232744	19990819
	US 6528187	B1	20030304	US 1999-391156	19990908
PRAI	JP 1998-254147	A	19980908		

OS MARPAT 133:10843
AB An organic electroluminescent material, suited for use in making a reliable electroluminescent device, comprises a compound containing the structure represented by I [Q1 represents atomic members forming 5- or 6-member N-containing aromatic heterocyclic ring; Q2 represents atomic members forming 5- or 6-member aromatic ring; X and Y = N or C; Z = SO2R1, COR2 and POR3(R4) (R1-4 = aliphatic hydrocarbons, aryl, heterocyclic, amino, etc.)].
IT **270584-97-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(organic electroluminescent material)
RN 270584-97-9 CAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-8-quinolinyl- (9CI) (CA INDEX NAME)

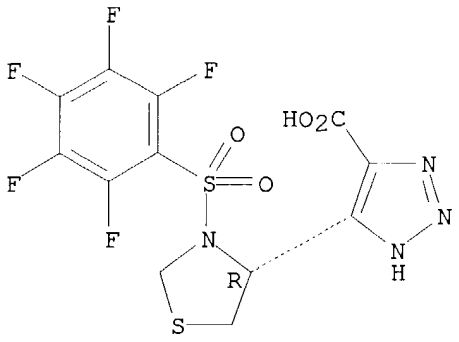


L7 ANSWER 21 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:784085 CAPLUS
DN 132:18814
TI Aza-heterocyclic compounds used to treat neurological disorders and hair loss
IN Hamilton, Gregory S.; Norman, Mark H.; Wu, Yong-Qian; Li, Jia-He; Steiner, Joseph P.
PA Guilford Pharmaceuticals Inc., USA; Amgen, Inc.
SO PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9962888	A1	19991209	WO 1998-US25574	19981203
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2333964	AA	19991209	CA 1998-2333964	19981203
	AU 9917082	A1	19991220	AU 1999-17082	19981203
	ZA 9811062	A	19991220	ZA 1998-11062	19981203
	BR 9815919	A	20010220	BR 1998-15919	19981203
	EP 1102756	A1	20010530	EP 1998-961867	19981203
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002517383	T2	20020618	JP 2000-552100	19981203
	NO 2000006117	A	20010201	NO 2000-6117	20001201
	BG 105013	A	20010831	BG 2000-105013	20001201
	US 2002045641	A1	20020418	US 2001-776904	20010206
PRAI	US 1998-87843P	P	19980603		
	US 1998-204238	A3	19981203		
	WO 1998-US25574	W	19981203		

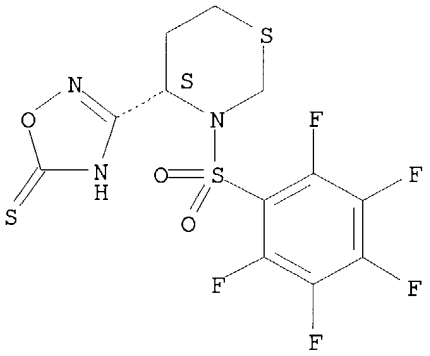
OS MARPAT 132:18814
AB The invention is directed to carboxylic acids and isosteres of heterocyclic ring compds. I [X, Y, Z = C, O, S, N (provided that not all X, Y, Z are C); n = 1-3; A = R1C(O)C(O), R1C(O)C(S), R1SO2, (E)(R1)NC(O); R1, E = H, C1-9 (un)branched alkyl or alkenyl, aryl, etc.; D = C1-10 (un)branched alkyl, ethylene, butylene; R2 = carboxylic acid or carboxylic acid isostere] which have multiple heteroatoms within the heterocyclic ring, derivs. containing N-linked diketos, sulfonamides, ureas and carbamates attached thereto, their preparation and use for treating neurol. disorders including phys. damaged nerves and neurodegenerative diseases, as well as for treating alopecia and promoting hair growth.
IT **251952-11-1 251952-25-7 251952-26-8**
251953-81-8 251953-94-3 251953-95-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic compds. for treatment of neurol. disorder or hair loss)
RN 251952-11-1 CAPLUS
CN 1H-1,2,3-Triazole-4-carboxylic acid, 5-[(4R)-3-[(pentafluorophenyl)sulfonyl]-4-thiazolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



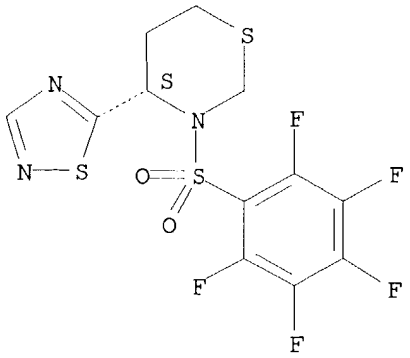
RN 251952-25-7 CAPLUS
CN 2H-1,3-Thiazine, 4-(2,5-dihydro-5-thioxo-1,2,4-oxadiazol-3-yl)tetrahydro-3-[(pentafluorophenyl)sulfonyl]-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



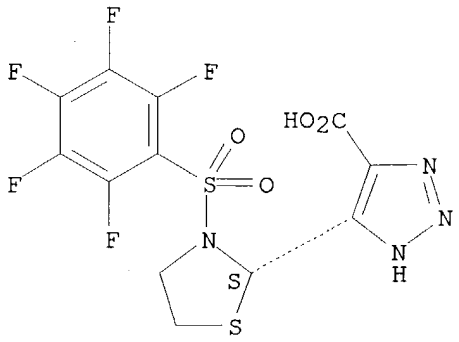
RN 251952-26-8 CAPLUS
CN 2H-1,3-Thiazine, tetrahydro-3-[(pentafluorophenyl)sulfonyl]-4-(1,2,4-thiadiazol-5-yl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



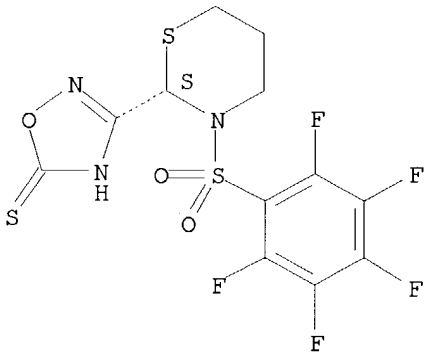
RN 251953-81-8 CAPLUS
CN 1H-1,2,3-Triazole-4-carboxylic acid, 5-[(2S)-3-[(pentafluorophenyl)sulfonyl]-2-thiazolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



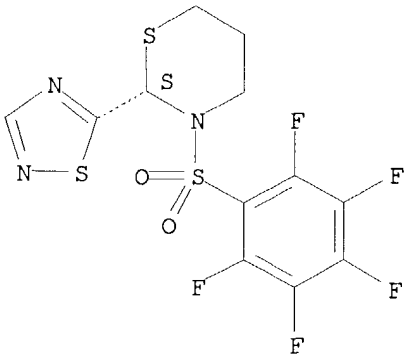
RN 251953-94-3 CAPLUS
CN 2H-1,3-Thiazine, 2-(2,5-dihydro-5-thioxo-1,2,4-oxadiazol-3-yl)tetrahydro-3-[(pentafluorophenyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251953-95-4 CAPLUS
CN 2H-1,3-Thiazine, tetrahydro-3-[(pentafluorophenyl)sulfonyl]-2-(1,2,4-thiadiazol-5-yl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 22 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:354483 CAPLUS
DN 131:18931
TI Preparation of N-[(oxopyridinylacetamido)alkoxy]guanidines and analogs as protease inhibitors
IN Lu, Tianbao; Tomczuk, Bruce E.; Markotan, Thomas P.; Siedem, Colleen
PA 3-Dimensional Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9926926	A1	19990603	WO 1998-US25185	19981125
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2311969	AA	19990603	CA 1998-2311969	19981125
	AU 9917991	A1	19990615	AU 1999-17991	19981125
	AU 751412	B2	20020815		
	US 6037356	A	20000314	US 1998-199167	19981125
	EP 1036063	A1	20000920	EP 1998-962838	19981125
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2001524467	T2	20011204	JP 2000-522084	19981125
	BR 9815325	A	20011226	BR 1998-15325	19981125
	CN 1125051	B	20031022	CN 1998-812495	19981125
	ZA 9810833	A	20000601	ZA 1998-10833	19981126
	US 6245763	B1	20010612	US 2000-482540	20000114
	US 2002007070	A1	20020117	US 2001-827292	20010406
	US 6350764	B2	20020226		
	US 2002086872	A1	20020704	US 2001-12445	20011212
	US 6472399	B2	20021029		
	US 2003087921	A1	20030508	US 2002-241513	20020912
	US 6566379	B2	20030520		
	US 2003225115	A1	20031204	US 2003-400073	20030327
	US 6706021	B2	20040316		
	US 2004106633	A1	20040603	US 2003-714988	20031117
PRAI	US 1997-66475P	P	19971126		
	US 1997-67324P	P	19971205		
	US 1998-79107P	P	19980323		
	US 1998-69107P	P	19980323		
	US 1998-199167	A3	19981125		
	WO 1998-US25185	W	19981125		
	US 2000-482540	A3	20000114		
	US 2001-827292	A3	20010406		
	US 2001-12445	A3	20011212		
	US 2002-241513	A3	20020912		
	US 2003-400073	A3	20030327		

OS MARPAT 131:18931
AB R1Z1NHZCONHCR12R13(CH2)nCR14R15(CH2)mZ2NR8C(:NRa)NRbRc [I; Ra,Rb,Rc = H, OH, alkoxy(carbonyl), etc.; R1 = (cyclo)alkyl, aryl(alkyl), heterocyclyl,

etc.; R7 = H or alk(en)yl; R8 = H, alk(en)yl, aryl, etc.; R12-R15 = H, (un)substituted alkyl, aryl(alkyl), etc.; R12R13,R14R15 = alkylene; R12R14 = bond or alkylene; Z = (un)substituted 1,2-dihydro-2-oxopyridine-3,1-diyl, (un)substituted 3,4-dihydro-4-oxopyrimidine-5,3-diyl, etc.; Z1 = bond, SO2, O2C, etc.; Z2 = O, (alkyl)imino, etc.; m = 0-6; n = 0-8] were prepared Thus, PhCH2SO2NHZCH2CO2H was amidated by protected H2N(CH2)3ONHC(:NH)NH2 (preparation each given) to give, after deprotection, PhCH2SO2NHZCH2CONH(CH2)3ONHC(:NH)NH2. Data for biol. activity of I were given.

IT **226568-22-5P**

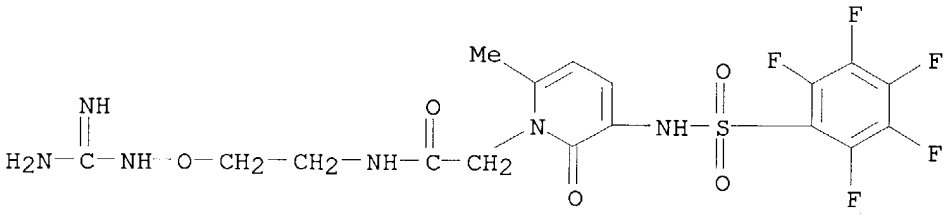
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[(oxopyridinylacetamido)alkoxy]guanidines and analogs as protease inhibitors)

RN 226568-22-5 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[2-[[(aminoiminomethyl)amino]oxy]ethyl]-6-methyl-2-oxo-3-[[(pentafluorophenyl)sulfonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

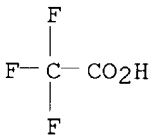
CM 1

CRN 226568-21-4
CMF C17 H17 F5 N6 O5 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2

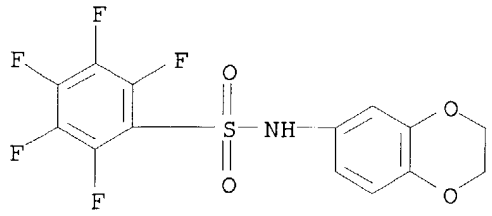


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

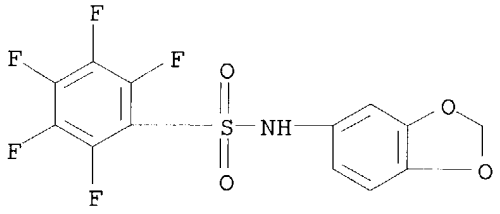
L7 ANSWER 23 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:175689 CAPLUS
DN 130:223060
TI Preparation of pentafluorobenzenesulfonamides for treating atherosclerosis and hypercholesterolemia
IN Medina, Julio Cesar; Clark, David Louis; Flygare, John A.; Rosen, Terry J.; Shan, Bei
PA Tularik Inc., USA
SO U.S., 28 pp., Cont.-in-part of U.S. Ser. No. 605,431, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5880151	A	19990309	US 1997-896827	19970718
	EP 1334719	A2	20030813	EP 2003-9125	19970222
	EP 1334719	A3	20030924		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	PT 896533	T	20040227	PT 1997-907843	19970222
	ES 2205183	T3	20040501	ES 1997-907843	19970222
	US 6121304	A	20000919	US 1999-227216	19990106
	US 6316484	B1	20011113	US 2000-633740	20000807
	US 2002143036	A1	20021003	US 2001-972743	20011005
PRAI	US 1996-605431	B2	19960222		
	EP 1997-907843	A3	19970222		
	US 1997-896827	A1	19970718		
	US 1999-227216	A1	19990106		
	US 2000-633740	A1	20000807		

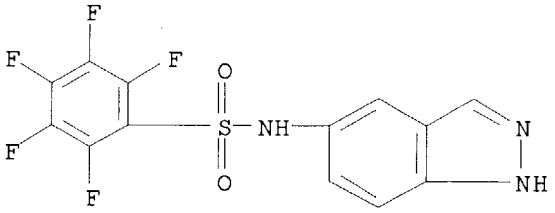
OS MARPAT 130:223060
AB The title compds. [I; Y = SO, SO2; Z = NR1R2 (wherein R1 = H, (un)substituted C1-10 alkyl, C3-6 alkenyl, C2-6 heteroalkyl; R2 = (un)substituted Ph)], useful as pharmacol. agents in the treatment of disease states, particularly atherosclerosis, pancreatitis, hypercholesterolemia, and hyperlipoproteinemia or as lead compds. for the development of such agents, were prepared Thus, reaction of N,N-dimethyl-1,4-phenyldiamine.2HCl with pentafluorophenylsulfonyl chloride in pyridine afforded 63% I [Y = SO2; Z = 4-(Me2N)C6H4NH] which showed ECmax of 0.5 μM for their ability to increase LDL receptor expression in Hep G2 cells.
IT **195533-48-3P 195533-50-7P 195533-64-3P**
195533-66-5P 195533-89-2P 195534-22-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pentafluorobenzenesulfonamides for treating atherosclerosis and hypercholesterolemia)
RN 195533-48-3 CAPLUS
CN Benzenesulfonamide, N-(2,3-dihydro-1,4-benzodioxin-6-yl)-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)



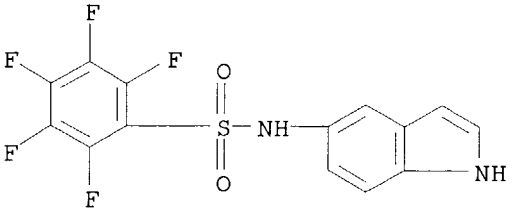
RN 195533-50-7 CAPLUS
CN Benzenesulfonamide, N-1,3-benzodioxol-5-yl-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)



RN 195533-64-3 CAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-1H-indazol-5-yl- (9CI) (CA INDEX NAME)

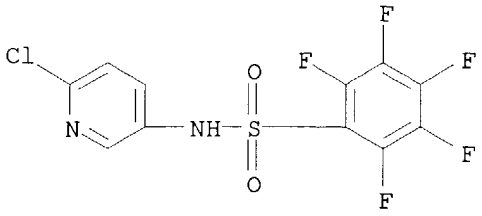


RN 195533-66-5 CAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-1H-indol-5-yl- (9CI) (CA INDEX NAME)

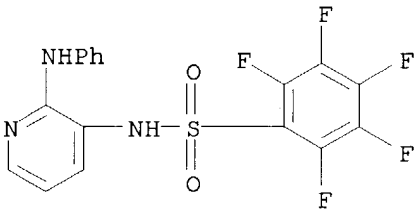


RN 195533-89-2 CAPLUS
CN Benzenesulfonamide, N-(6-chloro-3-pyridinyl)-2,3,4,5,6-pentafluoro- (9CI)

(CA INDEX NAME)

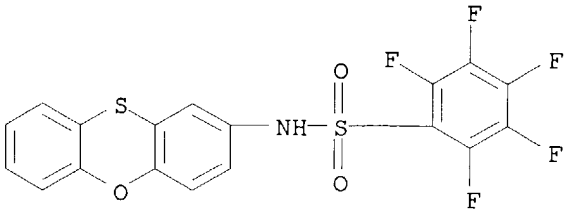


RN 195534-22-6 CAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-[2-(phenylamino)-3-pyridinyl]- (9CI) (CA INDEX NAME)



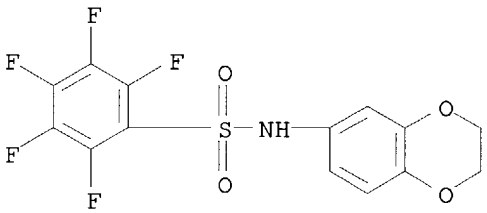
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 24 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:793898 CAPLUS
DN 130:150809
TI The antifungal activity of sulfonylamido derivatives of 2-aminophenoxathiin and related compounds
AU Supuran, Claudiu T.; Scozzafava, Andrea; Briganti, Fabrizio; Loloiu, George; Maior, Ovidiu
CS Laboratorio di Chimica Inorganica e Bioinorganica, Universita degli Studi di Firenze, Florence, 50121, Italy
SO European Journal of Medicinal Chemistry (1998), 33(10), 821-830
CODEN: EJMCA5; ISSN: 0223-5234
PB Editions Scientifiques et Medicales Elsevier
DT Journal
LA English
AB Aryl/alkyl-sulfonylamido, arylsulfenylamido, arylcarboxamido and ureido/thioureido derivs. of 2-aminophenoxathiin were prepared by reaction of the title compound with sulfonyl/sulfenyl halides, sulfonic acid anhydrides, acyl chlorides, tosyl isocyanate, aryl/allyl isocyanates or isothiocyanates. Some of these derivs., containing free amino groups, have been further derivatized by reaction with 2,4,6-trisubstituted-pyrylium salts, aryl/allyl isocyanate/isothiocyanates or tosyl isocyanate. Several of the newly synthesized compds. act as effective antifungal agents against Aspergillus and Candida spp., some of them showing activities comparable to ketoconazole or itraconazole (against the aspergilli) but being much less effective against Candida. The mechanism of action of these compds. involves inhibition of ergosterol biosynthesis, and probably interaction with lanosterol-14-α-demethylase (CYP51A1), since reduced amts. of ergosterol were evidenced by means of HPLC in cultures of the sensitive strain A. niger treated with some of these inhibitors. Thus, the two classes of antifungal compds., i.e. the azoles and the new derivs. reported here, might possess a similar mechanism of action at mol. level.
IT **220208-09-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antifungal activity of sulfonylamido derivs. of aminophenoxathiin and related compds.)
RN 220208-09-3 CAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-2-phenoxathiinyl- (9CI) (CA INDEX NAME)

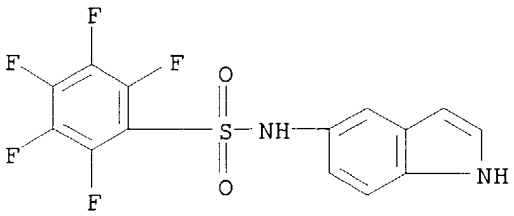


RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

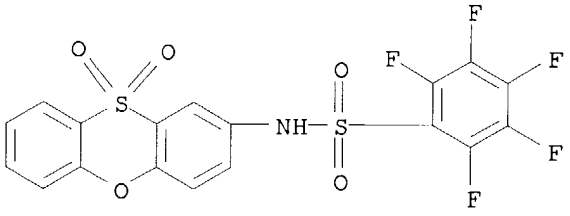
L7 ANSWER 25 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:709737 CAPLUS
DN 130:75729
TI Novel antineoplastic agents with efficacy against multidrug resistant tumor cells
AU Medina, Julio C.; Shan, Bei; Beckmann, Holger; Farrell, Robert P.; Clark, David L.; Learned, R. Marc; Roche, Daniel; Li, Angela; Baichwal, Vijay; Case, Casey; Baeuerle, Patrick A.; Rosen, Terry; Jaen, Juan C.
CS Tularik Inc, South San Francisco, CA, 94080, USA
SO Bioorganic & Medicinal Chemistry Letters (1998), 8(19), 2653-2656
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB A novel series of pentafluorobenzenesulfonamides has been shown to inhibit the growth of a variety of human tumor cell lines. Among the cell types against which these agents were evaluated were the multidrug resistant (MDR) cell lines MCF-7/ADR and P388/ADR. The cytotoxic activity of members of this series of compds. was not affected by the multidrug resistant pump in MCF-7/ADR or P388/ADR cells.
IT **195533-48-3P 195533-66-5P**, 5-Pentafluorophenylsulfonamidoindole
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pentafluorobenzenesulfonamides as novel antineoplastic agents with efficacy against multidrug resistant human tumor cells in relation to structure)
RN 195533-48-3 CAPLUS
CN Benzenesulfonamide, N-(2,3-dihydro-1,4-benzodioxin-6-yl)-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)



RN 195533-66-5 CAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-1H-indol-5-yl- (9CI) (CA INDEX NAME)



L7 ANSWER 26 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:651361 CAPLUS
DN 130:49076
TI Sulfonylamido derivatives of 2-aminophenoxathiin-10,10-dioxide and related compounds possess antifungal action due to the possible inhibition of lanosterol-14-α-demethylase
AU Supuran, Claudiu T.; Scozzafava, Andrea; Briganti, Fabrizio; Loloiu, George; Maior, Ovidiu
CS Lab. Chimica Inorganica Bioinorganica, Univ. Studi Firenze, Florence, I-50121, Italy
SO Journal of Enzyme Inhibition (1998), 13(4), 291-310
CODEN: ENINEG; ISSN: 8755-5093
PB Harwood Academic Publishers
DT Journal
LA English
AB Aryl/alkyl-sulfonylamido-, arylsulfenylamido-, arylcarboxamido-, and ureido/thioureido derivs. of 2-aminophenoxathiin-10,10-dioxide were prepared by reaction with sulfonyl/sulfenyl halides, sulfonic acid anhydrides, acyl chlorides, tosyl isocyanate, aryl/allyl isocyanates, or isothiocyanates. Some of these derivs., containing free amino groups, were further derivatized by reaction with 2,4,6-trisubstituted-pyrylium salts, aryl/allyl isocyanate/isothiocyanates or tosyl isocyanate. Several of the newly synthesized compds. act as effective antifungal agents against Aspergillus and Candida spp., some of them showing activities comparable to ketoconazole, with min. inhibitory concns. in the range of 0.3-0.5 μg/mL. Their mechanism of antifungal action is hypothesized to be due to inhibition of lanosterol-14-α-demethylase.
IT **217299-40-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(sulfonylamido derivs. of 2-aminophenoxathiin-10,10-dioxide and related compds. possess antifungal action due to the possible inhibition of lanosterol-14-α-demethylase)
RN 217299-40-6 CAPLUS
CN Benzenesulfonamide, N-(10,10-dioxido-2-phenoxathiinyl)-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)

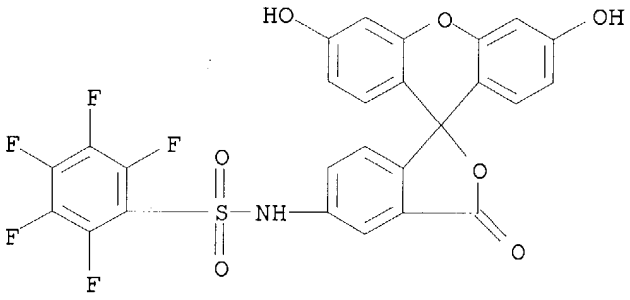


RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 27 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:430040 CAPLUS
DN 129:92249
TI Assay for glutathione transferase using polyhaloaryl-substituted reporter molecules
IN Diwu, Zhenjun; Haugland, Richard P.
PA Molecule Probes, Inc., USA
SO U.S., 34 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5773236	A	19980630	US 1997-845301	19970425
PRAI US 1997-845301		19970425		

OS MARPAT 129:92249
AB The subject invention describes compds. containing a polyhalogenated aryl moiety. The compds. of the invention are particularly useful for the assay of a variety of enzymes, including intracellular enzymes. The subject invention also describes assays for glutathione and/or glutathione transferase enzymes. Selected compds. of the invention are particularly useful for improving the retention of fluorescent products of enzyme metabolism in cells.
IT **209540-57-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(assay for glutathione transferase using polyhaloaryl-substituted reporter mols.)
RN 209540-57-8 CAPLUS
CN Benzenesulfonamide, N-(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 28 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1998:112217 CAPLUS
DN 128:167254
TI Pentafluorobenzenesulfonamides and analogs useful as antiproliferative agents
IN Flygare, John; Medina, Julio; Shan, Bei; Clark, David; Rosen, Terry
PA Tularik, Inc., USA
SO PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DT Patent
LA English

Same Inv. Entity

FAN.CNT 1

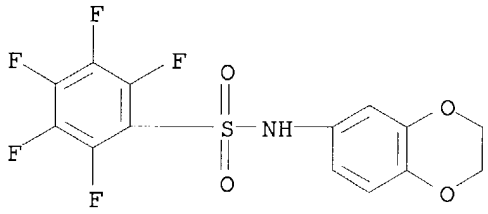
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9805315	A1	19980212	WO 1997-US12720	19970718
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9738877	A1	19980225	AU 1997-38877	19970718
AU 710173	B2	19990916		
CN 1225009	A	19990804	CN 1997-196427	19970718
EP 939627	A1	19990908	EP 1997-936133	19970718
EP 939627	B1	20030910		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9710737	A	20000111	BR 1997-10737	19970718
JP 2000515545	T2	20001121	JP 1998-507937	19970718
JP 3421350	B2	20030630		
US 6482860	B1	20021119	US 1997-896280	19970718
AT 249214	E	20030915	AT 1997-936133	19970718
PT 939627	T	20040227	PT 1997-936133	19970718
ES 2201313	T3	20040316	ES 1997-936133	19970718
KR 2000022556	A	20000425	KR 1998-711004	19981230
US 2003162817	A1	20030828	US 2002-270259	20021011
PRAI US 1996-22198P	P	19960719		
US 1997-896280	A1	19970718		
WO 1997-US12720	W	19970718		

OS MARPAT 128:167254

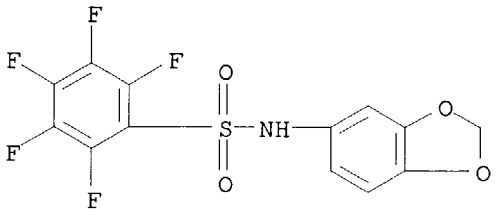
AB The invention provides methods and compns. relating to novel pentafluorophenylsulfonamide derivs. and analogs, and their use as pharmacol. active agents. The compds. bond covalently and selectively to Cys-239 of β-tubulin, and thereby disrupt microtubule formation. The compns. find particular use in the treatment of cancer, vascular restenosis, microbial infections, and psoriasis, or the compds. serve as leads for the development of drugs. The compns. include compds. of formula I [Y = S(O) or S(O)2; Z = NR1R2 or OR3; R1, R2 = H, (un)substituted alk(en/yn)yl, alkoxy, cycloalk(en)yl, (hetero)aryl, (hetero)aryloxy, etc.; R1 and R2 may be joined by a bond, alkylene, or heteroalkylene group; R3 = (un)substituted (hetero)aryl]. For example, sulfonamidation of N,N-dimethyl-1,4-phenylenediamine-2HCl with pentafluorophenylsulfonyl chloride in pyridine gave 63% title compound II. In an assay for inhibition of growth of HeLa cells (human cervical carcinoma) in vitro, II had an IC50 of < 0.05 μM.

IT **195533-48-3P**, 1,2-(Ethylenedioxy)-4-[(pentafluorophenyl)sulfonamido]benzene **195533-50-7P**, 1,2-(Methylenedioxy)-4-[(pentafluorophenyl)sulfonamido]benzene **195533-64-3P**, 5-[(Pentafluorophenyl)sulfonamido]indazole **195533-66-5P**, 5-[(Pentafluorophenyl)sulfonamido]indole **195533-89-2P**, 2-Chloro-5-[(pentafluorophenyl)sulfonamido]pyridine **195534-22-6P**, 2-Anilino-3-[(pentafluorophenyl)sulfonamido]pyridine **195534-23-7P**, 1-[(Pentafluorophenyl)sulfonyl]-1,2,3,4-tetrahydroquinoline **202998-73-0P**, 2-Methoxy-5-[(pentafluorophenyl)sulfonamido]pyridine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pentafluorobenzenesulfonamides and analogs as antiproliferative and chemotherapeutic agents)

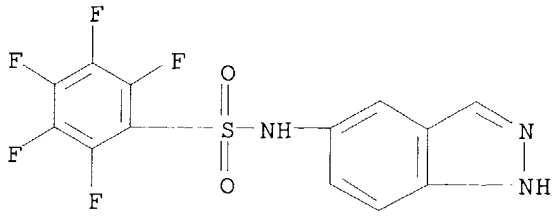
RN 195533-48-3 CAPLUS
CN Benzenesulfonamide, N-(2,3-dihydro-1,4-benzodioxin-6-yl)-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)



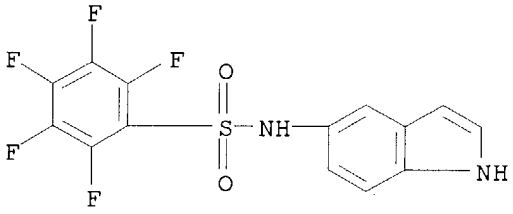
RN 195533-50-7 CAPLUS
CN Benzenesulfonamide, N-1,3-benzodioxol-5-yl-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)



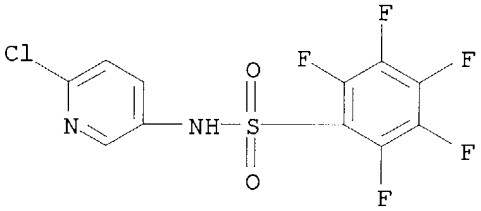
RN 195533-64-3 CAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-1H-indazol-5-yl- (9CI) (CA INDEX NAME)



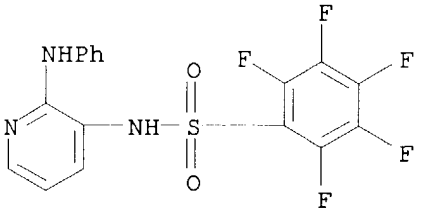
RN 195533-66-5 CAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-1H-indol-5-yl- (9CI) (CA INDEX NAME)



RN 195533-89-2 CAPLUS
CN Benzenesulfonamide, N-(6-chloro-3-pyridinyl)-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)

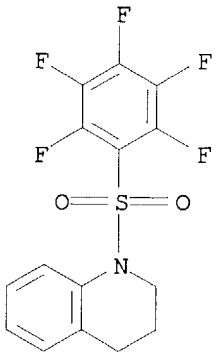


RN 195534-22-6 CAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-[2-(phenylamino)-3-pyridinyl]- (9CI) (CA INDEX NAME)

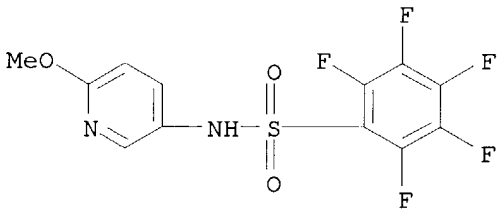


RN 195534-23-7 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-1-[(pentafluorophenyl)sulfonyl]- (9CI) (CA

INDEX NAME)



RN 202998-73-0 CAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-(6-methoxy-3-pyridinyl)- (9CI) (CA INDEX NAME)



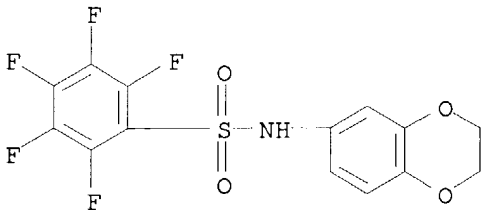
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 29 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:576658 CAPLUS
DN 127:247928
TI Preparation of pentafluorobenzenesulfonanilides and analogs as LDL receptor gene expression regulators
IN Clark, David; Flygare, John; Medina, Julio C.; Rosen, Terry; Shan, Bei
PA Tularik, Inc., USA
SO PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

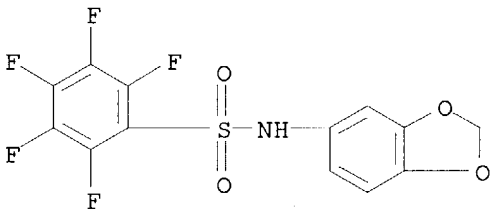
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9730677	A2	19970828	WO 1997-US2926	19970222
	WO 9730677	A3	19971120		
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2244785	AA	19970828	CA 1997-2244785	19970222
	AU 9719739	A1	19970910	AU 1997-19739	19970222
	AU 711159	B2	19991007		
	EP 896533	A2	19990217	EP 1997-907843	19970222
	EP 896533	B1	20030910		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	NZ 331941	A	20000428	NZ 1997-331941	19970222
	JP 2000505459	T2	20000509	JP 1997-530397	19970222
	JP 3421349	B2	20030630		
	EP 1334719	A2	20030813	EP 2003-9125	19970222
	EP 1334719	A3	20030924		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	AT 249213	E	20030915	AT 1997-907843	19970222
	PT 896533	T	20040227	PT 1997-907843	19970222
	ES 2205183	T3	20040501	ES 1997-907843	19970222
PRAI	US 1996-605431	A	19960222		
	EP 1997-907843	A3	19970222		
	WO 1997-US2926	W	19970222		

OS MARPAT 127:247928
AB RZR4 (R = pentafluorophenylthroughout)[I; R4 = NR1R2 or OR3; R1,R2 = H, alkyl, alkoxy, aryl, etc.; R3 = (hetero)aryl; Z = SO or SO2] were prepared Thus, RSO2Cl was amidated by 4-(H2N)C6H4NMe2 to give RSO2NHC6H4(NMe2)-4. Data for biol. activity of I were given.
IT **195533-48-3P 195533-50-7P 195533-64-3P 195533-66-5P 195533-89-2P 195534-22-6P 195534-23-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pentafluorobenzenesulfonanilides and analogs as LDL receptor gene expression regulators)
RN 195533-48-3 CAPLUS

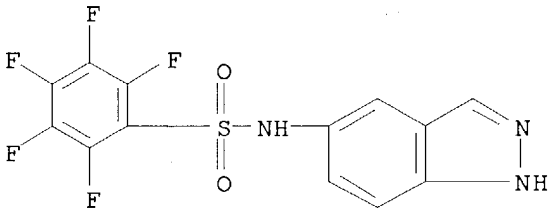
CN Benzenesulfonamide, N-(2,3-dihydro-1,4-benzodioxin-6-yl)-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)



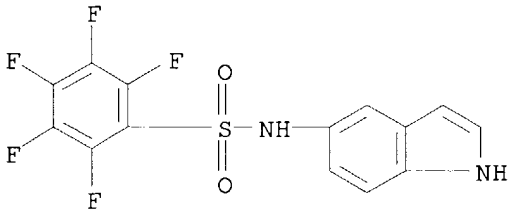
RN 195533-50-7 CAPLUS
CN Benzenesulfonamide, N-1,3-benzodioxol-5-yl-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)



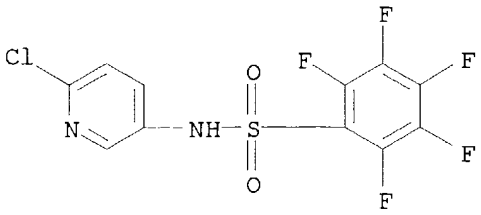
RN 195533-64-3 CAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-1H-indazol-5-yl- (9CI) (CA INDEX NAME)



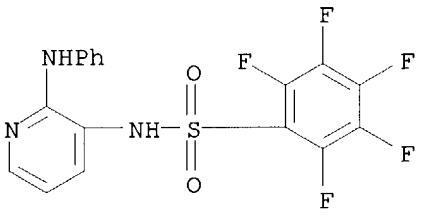
RN 195533-66-5 CAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-1H-indol-5-yl- (9CI) (CA INDEX NAME)



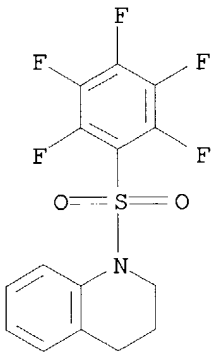
RN 195533-89-2 CAPLUS
CN Benzenesulfonamide, N-(6-chloro-3-pyridinyl)-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)



RN 195534-22-6 CAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-[2-(phenylamino)-3-pyridinyl]- (9CI) (CA INDEX NAME)



RN 195534-23-7 CAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-1-[(pentafluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 30 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:479343 CAPLUS
DN 127:95203
TI Preparation of 5-azabicyclo[3.1.0]hexylalkyl-2-piperidones and -glutarimides as neurokinin receptor antagonists
IN Mackenzie, Alexander Roderick; Marchington, Allan Patrick; Middleton, Donald Stuart; Meadows, Sandra Dora
PA Pfizer Limited, UK; Pfizer Inc.; Pfizer Research and Development Company, N.V./s.A.La Touche Houseinternational Financial Services Centredublin 1; Marchington, Allan Patrick; Middleton, Donald Stuart; Meadows, Sandra Dora
SO PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9719942	A1	19970605	WO 1996-EP5000	19961111
	W: CA, JP, MX, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2230936	AA	19970605	CA 1996-2230936	19961111
	CA 2230936	C	20010911		
	EP 862567	A1	19980909	EP 1996-938206	19961111
	EP 862567	B1	20010801		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 10512598	T2	19981202	JP 1996-520118	19961111
	JP 2978566	B2	19991115		
	AT 203747	E	20010815	AT 1996-938206	19961111
	ES 2159764	T3	20011016	ES 1996-938206	19961111
	PT 862567	T	20011130	PT 1996-938206	19961111
	US 6034082	A	20000307	US 1998-74931	19980513
	GR 3036688	T3	20011231	GR 2001-401545	20010920
PRAI	GB 1995-24157	AL	19951125		
	WO 1996-EP5000	W	19961111		

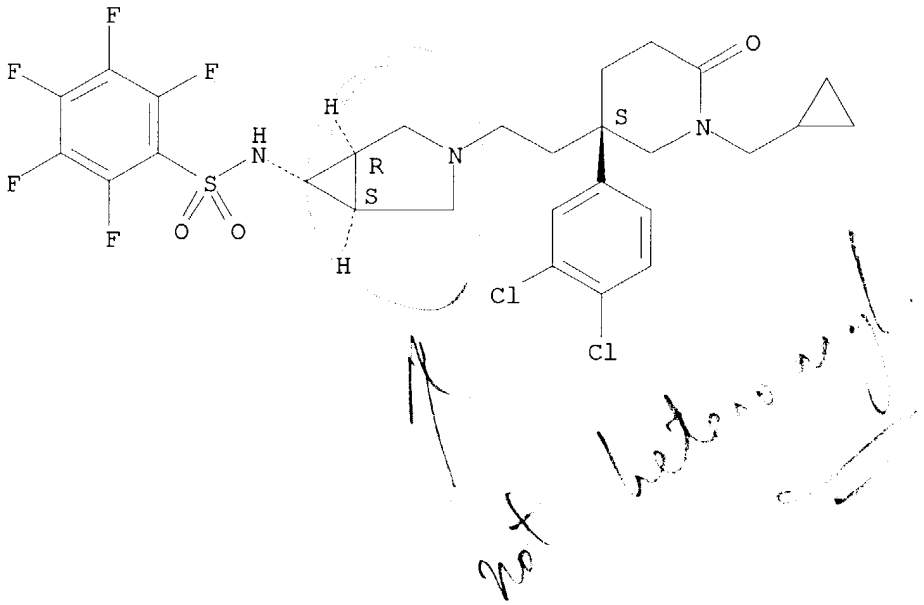
OS MARPAT 127:95203
AB The title compds. I [R1 is C1-C6 alkyl, C3-C7 cycloalkyl, C3-C7 cycloalkyl(C1-C4)alkyl, aryl or aryl(C1-C4)alkyl; the C1-C6 alkyl group is optionally substituted by fluorine and the C3-C7 cycloalkyl or C3-C7 cycloalkyl(C1-C4)alkyl group is optionally substituted in the cycloalkyl ring by up to two substituents each independently selected from halo, C1-C4 alkoxy or halo(C1-C4)alkoxy; R2 is Ph optionally substituted with one or two halo substituents or is indolyl, thienyl, benzothienyl or naphthyl; R3 is NH2, -NR4SO2(C1-C6 alkyl), -NR4SO2 aryl, -NR4SO2N(R4)2, -NR4CO(C1-C6 alkyl), -NR4CO aryl or a group or formula Q wherein W is O, NR5, CH(OH), CHCO2H, CHN(R4)2, CHF, CF2, C:O or CH2; R4 is H or C1-C6 alkyl' R5 is H, C1-C6 alkyl, C3-C7 cycloalkyl, C3-C7 cycloalkyl(C1-C6)alkyl, C2-C6 alkanoyl, C4-C8 cycloalkanoyl, C3-C7 cycloalkyl(C2-C6)alkanoyl, aryl CO-, C1-C6 alkyl SO2-, (R4)2NSO2-, C3-C7 cycloalkyl SO2-, C3-C7 cycloalkyl(C1-C6)alkyl-SO2- or aryl SO2-; X is CH2 or C:O; m is 0, 1 or 2 with the proviso that m is not 0 when W is NR5, C:O, or O; and n is an integer of from 1 to 4] were prepared as neurokinin receptor antagonists (no data) of utility in the treatment of a variety of medical conditions including urinary incontinence, asthma and related conditions. E.g., reaction of 5(S)-1-(cyclopropylmethyl)-5-(3,4-dichlorophenyl)-5-formylmethyl-2-piperidone and 1α,5α,6α-6-morpholino-3-azabicyclo[3.1.0]hexane gave 5(S)-5-(3,4-dichlorophenyl)-1-(cyclopropylmethyl)-5-(2-[1α,5α,6α-6-morpholino-3-azabicyclo[3.1.0]hexane]ethyl)-2-piperidone.

IT **192212-60-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (azabicyclohexyl)alkylpiperidones and -glutarimides as neurokinin receptor antagonists)

RN 192212-60-5 CAPLUS

CN Benzenesulfonamide, N-[3-[2-[1-(cyclopropylmethyl)-3-(3,4-dichlorophenyl)-6-oxo-3-piperidinyl]ethyl]-3-azabicyclo[3.1.0]hex-6-yl]-2,3,4,5,6-pentafluoro-, [3(S)-(1α,5α,6α)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

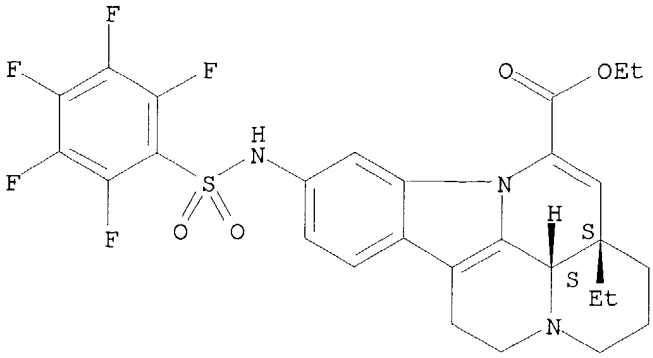


L7 ANSWER 31 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:304004 CAPLUS
DN 124:343780
TI Preparation of apovincamine analogs and their biological activities
IN Hidaka, Hiroyoshi; Ishikawa, Tomohiko; Muramatsu, Hiroshi; Inoe, Tsutomu
PA Japan
SO Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 08067681	A2	19960312	JP 1994-155644	19940707
	WO 9719945	A1	19970605	WO 1995-JP2434	19951129
	W: AU, CA, CN, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2238488	AA	19970605	CA 1995-2238488	19951129
	AU 9539938	A1	19970619	AU 1995-39938	19951129
	AU 710773	B2	19990930		
	EP 864571	A1	19980916	EP 1995-938607	19951129
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE				
	CN 1200733	A	19981202	CN 1995-197991	19951129
PRAI	JP 1994-143034		19940624		
	WO 1995-JP2434		19951129		

OS MARPAT 124:343780
AB Title compds. I [R1 = alkyl; R2 = halo, alkyl, alkoxy, alkoxycarbonyl, etc.] are prepared and their vasodilating and blood platelet aggregation inhibiting activities are studied. Thus, apovincamine acid Et ester (preparation given) was 11-nitrated, the 11-nitro derivative was reduced, and the 11-amino derivative was reacted with benzenesulfonyl chloride to give the title compound I [R1 = Et, R2 = phenyl]. In an in vitro study, this had an ED50 comparable to that for vinposetine phosphate.
IT **176661-35-1P**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of apovincamine derivs. and biol. activities)
RN 176661-35-1 CAPLUS
CN Eburnamenine-14-carboxylic acid, 11-[[(pentafluorophenyl)sulfonyl]amino]-, ethyl ester, (3α,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

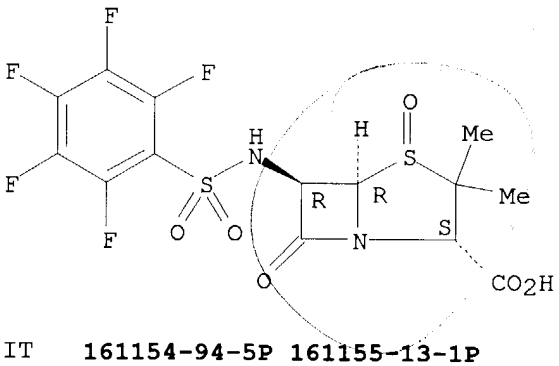

F
F
F
F
F
H
N
S
O
O
O
OEt
N
H
S
S
Et
N

L7 ANSWER 32 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1995:311983 CAPLUS
DN 122:160318
TI Synthesis and β-lactamase inhibitory activity of new 6β-sulfonamidopenicillanic acids
AU Changov, L. S.; Vassileva-Lukanova, B. K.; Angelova-Galabova, A.; Pavlova, A. V.; Spassov, S. L.
CS Chem. Pharmaceutical Res. Inst., Bulgaria Academy Sci., Sofia, Burma
SO Arzneimittel-Forschung (1994), 44(7), 856-8
CODEN: ARZNAD; ISSN: 0004-4172
PB Cantor
DT Journal
LA English
AB New 6β-aryl(alkyl)sulfonamidopenicillanic acids and their sulfoxides I (R = 4-O2NC6H4, 4-BrC6H4, CH2Ph, Et, etc., n = 0, 1) were synthesized by sulfonylation of 6β-aminopenicillanic acid or its β-sulfoxide with an appropriate sulfonyl chloride. The corresponding 6β-sulfonamidopenicillanic acid sulfones were prepared by oxidation of the sulfoxides with potassium permanganate in aqueous medium. The obtained compds. reduced the min. inhibitory concns. of ampicillin against 8 reference and 7 clin. isolated strains.

IT **161155-03-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and β-lactamase inhibitory activity of β-sulfonamidopenicillanic acids)

RN 161155-03-9 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-7-oxo-6-[[(pentafluorophenyl)sulfonyl]amino]-, 4-oxide, [2S-(2α,5α,6β)]- (9CI) (CA INDEX NAME)

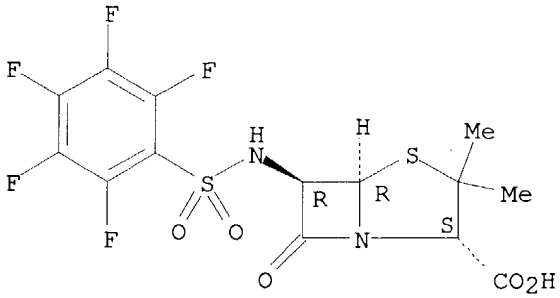
Absolute stereochemistry.



IT **161154-94-5P 161155-13-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and β-lactamase inhibitory activity of β-sulfonamidopenicillanic acids)

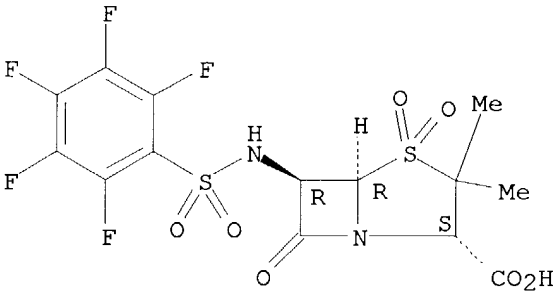
RN 161154-94-5 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-7-oxo-6-[[(pentafluorophenyl)sulfonyl]amino]-, [2S-(2α,5α,6β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 161155-13-1 CAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-7-oxo-6-[[(pentafluorophenyl)sulfonyl]amino]-, 4,4-dioxide, [2S-(2α,5α,6β)]- (9CI) (CA INDEX NAME)

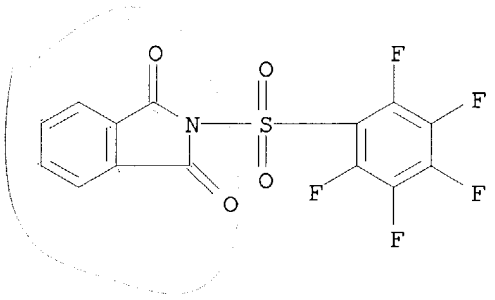
Absolute stereochemistry.



L7 ANSWER 33 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1993:634022 CAPLUS
DN 119:234022
TI Preparation of sulfonylphthalimides as inhibitors of platelet-derived growth factor.
IN Clader, John W.; Davis, Harry R.; Mullins, Deborra; Rosenblum, Stuart; Weinstein, Jay
PA Schering Corp., USA
SO U.S., 22 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5238950	A	19930824	US 1991-808997	19911217
PRAI US 1991-808997		19911217		

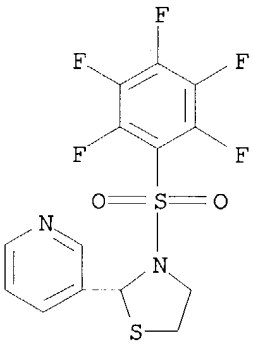
OS MARPAT 119:234022
AB The sulfonylphthalimides I [R = (un)substituted Ph or naphthyl, etc., R1 = NO2, NH2, BzNH, etc., n = 0,1] and related compds. are prepared as platelet-derived growth factor (PDGF) inhibitors, useful for the treatment of atherosclerosis, cancer, retinal detachment, etc. (no data). 2-Methyl-5-chlorobenzenesulfonolamide (preparation given) was refluxed with phthaloyl chloride, in toluene, to give I(R = 2-methyl-5-chlorophenyl, R1n= H)(II). II inhibited the binding of PDGF to PDGF receptors on human fibroblasts.
IT **150519-90-7P**
RL: PREP (Preparation)
(preparation of, as platelet-derived growth factor-inhibiting drug)
RN 150519-90-7 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[(pentafluorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 34 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:214490 CAPLUS
DN 116:214490
TI Preparation of thiazolidine derivatives as platelet-activating factor antagonists
IN Iwata, Michizo; Imanishi, Takeshi; Sato, Masakazu; Kawashima, Yutaka; Goto, Jun; Chiba, Yoshiyuki; Satake, Mikio
PA Taisho Pharmaceutical Co., Ltd., Japan; Nippon Suisan Kaisha, Ltd.
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 03275678	A2	19911206	JP 1990-76172	19900326
PRAI	JP 1990-76172		19900326		

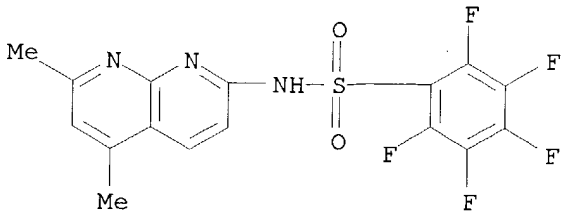
OS MARPAT 116:214490
AB The title derivs. I (R1 = alkyl, carbocyclyl, heterocyclyl; R2 = alkyl, Q, 1,5-C10H6NMe2, 2-C10H7; V-Z = H, halo, OH, NO2, NH2, lower alkyl, lower alkoxy, alkylamide) are prepared A mixture of 10 g 2-(3-pyridyl)thiazolidine and 16.6 g K2CO3 in Me2CO was treated dropwise with a solution of 13.3 g p-O2NC6H4SO2Cl under ice cooling, then stirred at room temperature for 1 h to give 6.5 g I (R1 = 3-pyridyl, R2 = C6H4NO2-4) (II). II showed platelet aggregation inhibition activity with an IC50 of 16 μM.
IT **140893-46-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as platelet aggregation inhibitor)
RN 140893-46-5 CAPLUS
CN Thiazolidine, 3-[(pentafluorophenyl)sulfonyl]-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



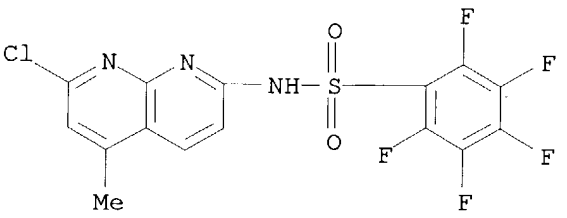
L7 ANSWER 35 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1990:55909 CAPLUS
DN 112:55909
TI Preparation of arylsulfonamidonaphthyridines and -pyridopyrimidines as herbicides
IN Saupe, Thomas; Klebe, Gerhard; Schirmer, Ulrich; Paul, Gerhard; Kober, Reiner; Wuerzer, Bruno; Berghaus, Rainer; Meyer, Norbert; Westphalen, Karl Otto
PA BASF A.-G., Fed. Rep. Ger.
SO Eur. Pat. Appl., 110 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 329012	A2	19890823	EP 1989-102209	19890209
	EP 329012	A3	19910403		
	R: CH, DE, FR, GB, IT, LI				
	DE 3804990	A1	19890831	DE 1988-3804990	19880218
	US 4881969	A	19891121	US 1989-310753	19890215
	JP 01254682	A2	19891011	JP 1989-36449	19890217
	US 4999045	A	19910312	US 1989-378985	19890712
	US 4999044	A	19910312	US 1989-378986	19890712
PRAI	DE 1988-3804990		19880218		
	US 1989-310753		19890215		

OS CASREACT 112:55909; MARPAT 112:55909
AB The title compds. [I; R1 = H, CN, (substituted) C1-8 alkyl, C2-5 alkenyl, SOR4, SO2R4, C2-4 alkynyl, COR4; R2, R3 = NO2, OH, CO2H, SH, halo, (substituted) C1-4 alkyl, C3-6 cycloalkyl, C1-4 alkoxy or alkylthio, C2-5 alkenyloxy, C2-4 alkynyloxy, amino, etc.; R4 = C1-4 alkyl, -alkoxy, -alkylthio, aryl, aryloxy, arylthio, CONR5R6; R5, R6 = C1-4 alkyl, C3-6 cycloalkyl, C2-5 alkenyl, aryl, arylalkyl, C1-4 alkylcarbonyl; R5R6 = C2-6 alkylene; W, X, Y,Z = N, CR7; R7 = hydrazino, R2; A = (substituted) (hetero)aryl; n = 0, 1], useful as herbicides (no data), were prepared Thus, 2-amino-5,7-dimethyl-1,8-naphthyridine in pyridine was treated dropwise with 2-ClC6H4SO2Cl at 40-50°. The mixture was stirred 1 h at 75° and refluxed for 1.5 h to give 2-chloro-N-(5,7-dimethyl-1,8-naphthyridin-2-yl)benzenesulfonamide. I were said to be effective against Amaranthus retroflexus, Centaurea cyanus, Chenopodium album, Cyperus iria, and Ipomoea spp.
IT **124801-77-0P 124801-81-6P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)
RN 124801-77-0 CAPLUS
CN Benzenesulfonamide, N-(5,7-dimethyl-1,8-naphthyridin-2-yl)-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)

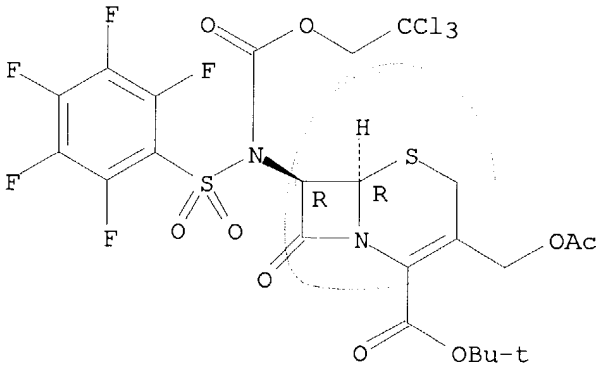


RN 124801-81-6 CAPLUS
CN Benzenesulfonamide, N-(7-chloro-5-methyl-1,8-naphthyridin-2-yl)-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)



L7 ANSWER 36 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:407107 CAPLUS
DN 111:7107
TI Direct incorporation of a 6α(7α)-formamido group into penicillin and cephalosporin sulfides and sulfoxides
AU Branch, Clive L.; Pearson, Michael J.; Smale, Terence C.
CS Beecham Pharm., Betchworth/Surrey, RH3 7AJ, UK
SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1988), (10), 2865-73
CODEN: JCPRB4; ISSN: 0300-922X
DT Journal
LA English
OS CASREACT 111:7107
AB 6β-[N-(2,2,2-Trichloroethoxycarbonyl)-N-trifluoromethylsulfonyl)amino]penicillins have been converted into the 6α-formamido-6β-(2,2,2-trichloroethoxycarbonylamino) derivs. by treatment with (Me3Si)2NCHO and Et3N. The trifluoromethyl group could be replaced in approx. decreasing order of effectiveness, by CF3(CF2)3, C6F5, 2,4,5-Cl3C6H2, 2,4-(O2N)2C6H3, 4-O2NC6H4, p-tolyl, or Me. The 6α-formamido-(2,2,2-trichloroethoxy)carbonylamino derivs. were oxidized and the structure of the derived α- and β-sulfoxides confirmed by unambiguous synthesis. Analogous cephalosporins were similarly prepared The I (n = 1) had less bactericidal activity than I (n = 0).
IT **93553-38-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with bis(trimethylsilyl)formamide)
RN 93553-38-9 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-8-oxo-7-[[(pentafluorophenyl)sulfonyl][(2,2,2-trichloroethoxy)carbonyl]amino]-, 1,1-dimethylethyl ester, (6R-trans)-(9CI) (CA INDEX NAME)

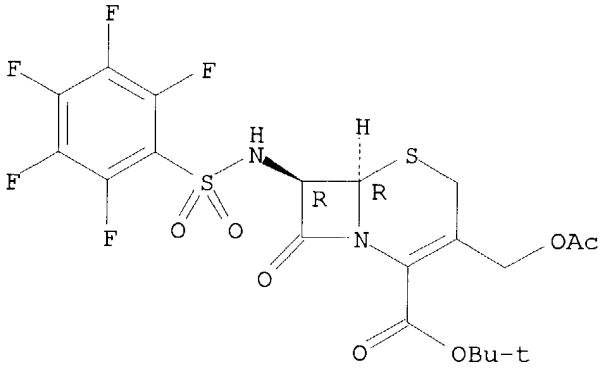
Absolute stereochemistry.



IT **93553-37-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with trichloroethyl chloroformate)
RN 93553-37-8 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-8-oxo-7-[[(pentafluorophenyl)sulfonyl]amino]-,

1,1-dimethylethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 37 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:177077 CAPLUS
DN 108:177077
TI Silver halide color photographic material containing magenta coupler
IN Ishii, Fumio; Wada, Hajime
PA Konica Co., Japan
SO Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

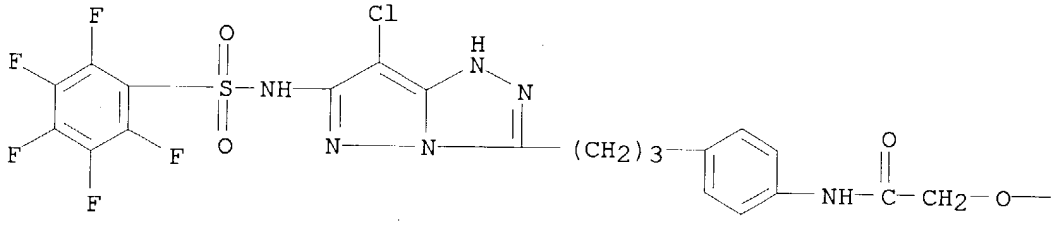
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 62205349	A2	19870909	JP 1986-47406	19860306
	JP 06008950	B4	19940202		
PRAI	JP 1986-47406		19860306		

AB The magenta coupler(s) from derivs. of 1H-pyrazolo[3,2-C]-s-triazole substituted at a 6-position with a YSO2NR- group (R = H, alkyl, aryl; Y = alkyl, cycloalkyl, aryl, heterocyclyl, amino) is contained in the layer(s) of the color photog. material. The use of the couplers provides high colorfastness and resistance to HCHO, beside good coloration. Thus, a green-sensitive Ag(I,Br) emulsion was added with a gelatin-I emulsion and a hardener, and applied on a polyester base. The content of I in the layer was 0.1 mol/mol of Ag. Exposure and processing of the film using a developer containing or not containing PhCH2OH produced magenta images that showed high colorfastness. High resistance of the unexposed film to HCHO was also observed

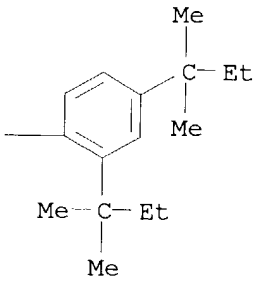
IT **113840-92-9**
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. magenta coupler, colorfast, formalin-resistant)

RN 113840-92-9 CAPLUS
CN Acetamide, 2-[2,4-bis(1,1-dimethylpropyl)phenoxy]-N-[4-[3-[7-chloro-6-[[(pentafluorophenyl)sulfonyl]amino]-1H-pyrazolo[5,1-c]-1,2,4-triazol-3-yl]propyl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

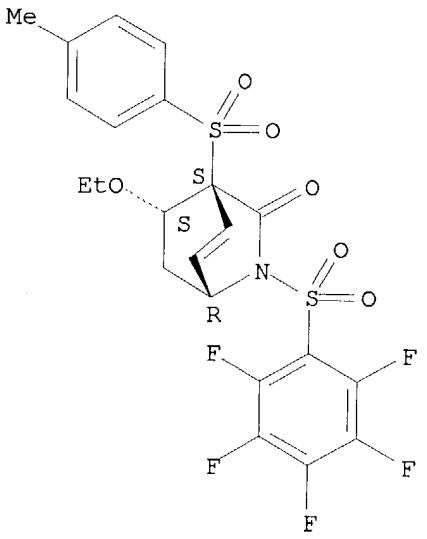


PAGE 1-B



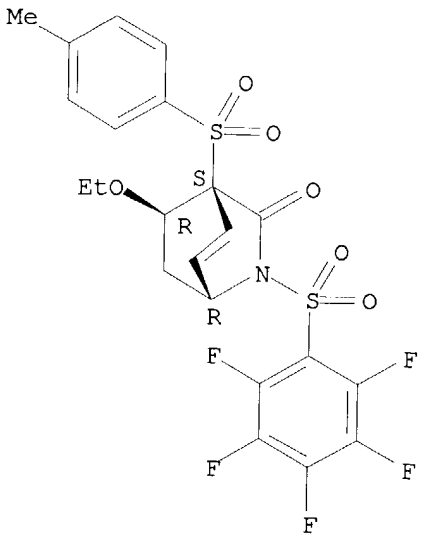
L7 ANSWER 38 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1987:423211 CAPLUS
DN 107:23211
TI Diels-Alder cycloadditions using electrophilic sulfonyl pyridones
AU Posner, Gary H.; Switzer, Christopher
CS Dep. Chem., Johns Hopkins Univ., Baltimore, MD, 21218, USA
SO Journal of Organic Chemistry (1987), 52(8), 1642-4
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 107:23211
AB A series of N-sulfonyl-3-p-toluenesulfonyl-2-pyridones I (R = 4-MeC6H4; R1 = 4-R2C6H4, C6F5,CF3,R2 = Me,Br,F,NO2) were prepared from 3-bromo-2-pyridone. Several of the electrophilic pyridones I reacted with R3OCH:CH2(R3 = Et,Bu) between 25-100°C to produce unsatd., bridged, bicyclic lactams II. At 5-7 kbar of pressure, such inverse-electron-demand Diels-Alder cycloaddns. proceeded smoothly at 25-50° forming cycloadducts II (R1 = 4-MeC6H4,R3 = Bu; R1 = C6F5,R3 = Et) in a regiospecific and stereoselective manner. Catalytic reduction of the ethylenic bridge of bicyclic lactam II (R1 = 4-MeC6H4,R3 = Bu) followed by reductive cleavage by NaBH4 formed. Functionalized aminocyclohexane III (R = 4-MeC6H4).
IT **107383-86-8P 107438-90-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 107383-86-8 CAPLUS
CN 2-Azabicyclo[2.2.2]oct-5-en-3-one, 8-ethoxy-4-[(4-methylphenyl)sulfonyl]-2-[(pentafluorophenyl)sulfonyl]-, (1α,4β,8S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

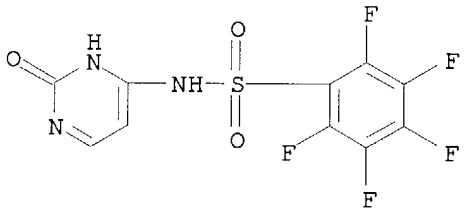


RN 107438-90-4 CAPLUS
CN 2-Azabicyclo[2.2.2]oct-5-en-3-one, 8-ethoxy-4-[(4-methylphenyl)sulfonyl]-2-[(pentafluorophenyl)sulfonyl]-, (1α,4β,8R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L7 ANSWER 39 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1985:109290 CAPLUS
DN 102:109290
TI Electrophore-labeling and alkylation of standards of nucleic acid pyrimidine bases for analysis by gas chromatography with electron-capture detection
AU Nazareth, Albert; Joppich, Markus; Abdel-Baky, Samy; O'Connell, Kathleen; Sentissi, Abdellah; Giese, Roger W.
CS Dep. Med. Chem., Coll. Pharm. Allied Health Prof., Boston, MA, 02115, USA
SO Journal of Chromatography (1984), 314, 201-10
CODEN: JOCRAM; ISSN: 0021-9673
DT Journal
LA English
AB The pyrimidine bases cytosine, uracil, and thymine, along with some analogs, are electrophore-labeled either with pentafluorobenzoyl chloride (PFBC), pentafluorophenylsulfonyl chloride (PPSC), or heptafluorobutyric anhydride. Subsequent alkylation is most successful for PFB-cytosine, PPS-uracil, and PPS-thymine. These same alkylated compds. also have the highest aqueous stability and respond most strongly by gas chromatog.-electron-capture detection. One of these derivs., determined to be N4-PFB-1,3-dimethylcytosine by authentic synthesis, and its 5-Me analog, can be detected with good precision down to the 100-fg level. Poor reproducibility is encountered at the 10-fg level.
IT **94720-26-0**
RL: ANT (Analyte); ANST (Analytical study)
(determination of, by gas chromatog. with electron-capture detection)
RN 94720-26-0 CAPLUS
CN Benzenesulfonamide, N-(1,2-dihydro-2-oxo-4-pyrimidinyl)-2,3,4,5,6-pentafluoro- (9CI) (CA INDEX NAME)



L7 ANSWER 40 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1985:6050 CAPLUS
DN 102:6050
TI β-Lactam compounds
IN Milner, Peter Henry
PA Beecham Group PLC, UK
SO Eur. Pat. Appl., 68 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

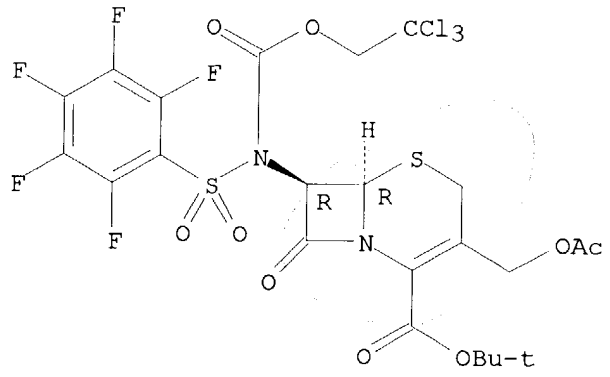
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 115405	A2	19840808	EP 1984-300338	19840119
	EP 115405	A3	19840829		
	EP 115405	B1	19870610		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	DK 8400237	A	19840722	DK 1984-237	19840119
	FI 8400215	A	19840722	FI 1984-215	19840119
	FI 81354	B	19900629		
	FI 81354	C	19901010		
	AU 8423608	A1	19840726	AU 1984-23608	19840119
	AU 568530	B2	19880107		
	JP 59137489	A2	19840807	JP 1984-7914	19840119
	HU 34207	O	19850228	HU 1984-205	19840119
	HU 191584	B	19870330		
	ZA 8400402	A	19850424	ZA 1984-402	19840119
	US 4555363	A	19851126	US 1984-572196	19840119
	ES 528985	A1	19860401	ES 1984-528985	19840119
	CA 1222745	A1	19870609	CA 1984-445646	19840119
	AT 27702	E	19870615	AT 1984-300338	19840119
	IL 70721	A1	19890228	IL 1984-70721	19840119
	PL 146761	B1	19890331	PL 1984-245815	19840119
	NO 8400211	A	19840723	NO 1984-211	19840120
	NO 164031	B	19900514		
	NO 164031	C	19900822		
PRAI	GB 1983-1688		19830121		
	GB 1983-17199		19830624		
	EP 1984-300338		19840119		

AB β-Lactams I [X = S, SO, SO2, O, CH2; R = acyl; R1R2 = CMe2CHCO2R3, CH2CHCO2R3, CH:CR4CHCO2R3, CH2CR4:CCO2R3; R3 = H, protective group; R4 = H, halogen, alkoxy, (un)substituted Me, vinyl] were prepared Thus II (R5 = SMe) was treated with HCON(SiMe3)2 to give 66% II (R5 = NHCHO).

IT **93553-38-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with bis(trimethylsilyl)formamide)

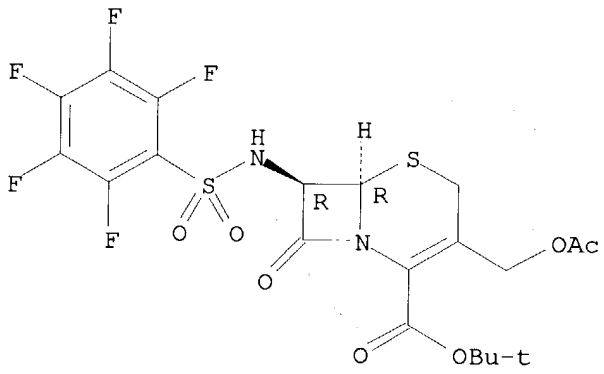
RN 93553-38-9 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-8-oxo-7-[[(pentafluorophenyl)sulfonyl][(2,2,2-trichloroethoxy)carbonyl]amino]-, 1,1-dimethylethyl ester, (6R-trans)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

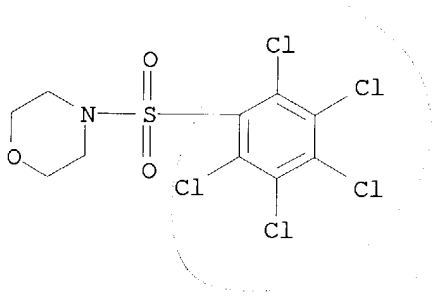


IT **93553-37-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with chloroformate)
RN 93553-37-8 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-8-oxo-7-[[(pentafluorophenyl)sulfonyl]amino]-, 1,1-dimethylethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 41 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1976:559576 CAPLUS
DN 85:159576
TI Studies in the chemistry of polyhalobenzene compounds. The synthesis and reactivity of 2,3,5,6- and 2,3,4,5-tetrachlorobenzenesulfonyl chlorides and related compounds
AU Chivers, Geoffrey E.; Cremlyn, Richard J. W.; Cronjie, Theo N.; Martin, Roger A.
CS Sch. Nat. Sci., Hatfield Polytech., Hatfield/Hertfordshire, UK
SO Australian Journal of Chemistry (1976), 29(7), 1573-82
CODEN: AJCHAS; ISSN: 0004-9425
DT Journal
LA English
OS CASREACT 85:159576
AB Polychlorobenzenesulfonyl chlorides I (R = Cl, H; R1 = H, Cl) were prepared from the sulfonic acids and PCl5 and amidated to yield sulfonamides II (R2 = H, Me; R3 = PhCH2, Me, Ph, substituted phenyl). I reacted with NaN3 and the sulfonyl azides obtained were treated with Ph3P to give iminophosphoranes III.
IT **60774-99-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 60774-99-4 CAPLUS
CN Morpholine, 4-[(pentachlorophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 42 OF 42 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1967:37571 CAPLUS
DN 66:37571
TI Sulfonic acid derivatives. III. Preparation, composition, and insecticide activity of sulfonamides
AU El-Hewehi, Zaki; Kira, Mohamed
CS El Nasr Co., Manuf. Coke Chems., Helwan-Eltabbien, Egypt
SO Journal fuer Praktische Chemie (Leipzig) (1966), 34(5-6), 218-42
CODEN: JPCEAO; ISSN: 0021-8383
DT Journal
LA German
AB cf. CA 60, 13174g. Chlorinated sulfonamides are prepared and are tested for mothproofing and tested on rugs. Thus, 46.5 g. PhNH2 in 100 ml. EtOH is treated with 40.75 g. MeCHClSO2Cl in 100 ml. EtOH to give α-chloroethylsulfonanilide, b15 155°. Similarly prepared are (m.p. and % yield given); 2,4,5-trichlorobenzenesulfomorpholide, -, -; pentachlorobenzenesulfonic acid maleic acid hydrazide, -, -; bis[p-(α-chloromethanesulfonamido)phenyl] disulfide, 176°, 38.2; α-chloromethanesulfonic acid pentachloroanilide, 183°, 66.5; α-chloroethanesulfonic acid 2,4,5-trichloroanilide, 122-5°, 75.7; α-chloroethanesulfonic acid 2,4,5-trichloroanilide, 137°, 3.5; 2,4,5-trichlorobenzenesulfonic acid p-phenylanilide, 84-6°, 52.2; 2,4,5-trichlorobenzenesulfonic acid 2,4,5-trichlorobenzylamide, 135.7°, 77; trichloromethylbis[4-2,4,5-trichloro-benzenesulfonamido)-3,5-dinitrophenyl]methane, 170-2°, 97.5. A mixture of 72.6 g. ClCH2SO2NHPh in 200 ml. CCl4 is treated with 476.9 g. SO2Cl2 to give 99% chloromethanesulfonic acid 2,4-dichloroanilide, m. 120°. Similarly prepared are (m.p. and % yield given): p,p'-bis(chloromethanesulfonamido)dichlorodiphenyl, 150-5°, 99; p,p'-bis(2,4,5-trichlrobenzenesulfonamido)tetrachlorodi phenyl, 174°, -. Also prepared are (m.p. and % yield given): triethanolamine-chloroform complex, 178°, -; decachlorodiphenylamine, 240°, -; 2,4,6 - tris(dichloromethylene)hexahydro-s-triazine, 240°, 2,4,5-trichlorobenzenesulfonic acid p-chloroanilide, 150°, -; 2,4,5-trichlorobenzenesulfonic acid o-chloroanilide, 172°, -; 2,4,5-trichlorobenzenesulfonic acid 2,4-dichloroanilide, 170°, -; 2,4,5-trichlorobenzenesulfonic acid 2,4,6-trichloroanilide, 185°, -; methanesulfonanilide, 100°, 79; 2,4-dichloromethanesulfonanilide, 119.5°, 81.5; 2,4,5-trichloromethanesulfonanilide, 145°, 48; N - trichloromethylthio - 2,4,5 - trichloromethanesulfonanilide, 150.5°, 95; N,N'-dithiobis(2,4,5-trichloromethanesulfonanilide), 111.5°, -; N-trichloromethanesulfonyl-α-chloromethanesulfonanilide, 127.5°, -; α-chloromethanesulfonic acid 1-naphthylamide, 125.5°, 14.8; α-chloromethanesulfonic acid 2-naphthylamide, 109°, 14.7; p-(p-chlorophenyl)-α-chloromethanesulfoanilide, 120-2°, -; α-chloromethanesulfonic acid hexachloro-p-phenylanilide, 167.5°, 26; N,N'-bis(α-chloromethanesulfonyl)-benzidine, 238°, 35; benzenesulfonic acid p-chloroanilide, 122°, 74; benzenesulfonic acid 2,4,5-trichloroanilide, 137.5°, 90.5; benzenesulfonic acid p-phenylanilide, 115-18°, 91.5; N,N-diphenylbenzenesulfonamide, 125.5°, 74; N,N-bis(p-chlorophenyl)benzenesulfonamide, 132-5°, 52; N,N-bis(2-chloroethyl)-2,4,5-benzenesulfonamide, 147°, 42; 2,4,5-trichorobenzenesulfonanilide, 153-5°, 95; 2,2',4,5-tetrachlorobenzenesulfonanilide, 172°, 95.5; 2,4,4',5-tetrachlorobenzenesulfonanilide, 150°, 95.5;

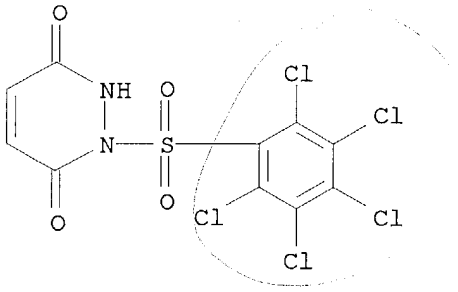
2,2',4,4',5-penytachlorobenzenesulfonanilide, 170°, 98; 2,2',4,4',5,6'-hexachlorobenzenesulfonanilide, 185°, 90; 2,4,5-trichlorobenzenesulfonic acid 1-naphthylamide, 163°, -; 2,4,5-trichlorobenzenesulfonic acid dichloro-1-naphthylamide, 190.5°, 62; 2,4,5-trichlorobenzenesulfonic acid 2-naphthylamide, 110°, 99; 2,4,5-trichlorobenzenesulfonic acid tetrachloro-2-naphthylamide, 163-5°, 44; N,N'-bis(2,4,5-trichlorobenzenesulfonyl)benzidine, 247°, 59.5; N-(2-naphthyl)-N-phenyl-2,4,5-trichlorobenzenesulfonamide, 148° (decomposition), 6; N,N-diphenyl-2,4,5-trichlorobenzenesulfonamide, 168.5°, 18.5; N,N-diethylpentachlorobenzenesulfonamide, 102°, 38.4; pentachlorobenzenesulfonic acid p-phenylanilide, 178°, 35.5; 2-(pentachlorobenzenesulfonyl)-6-hydroxypyridazone, 232° (decomposition), -.

IT **13607-62-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 13607-62-0 CAPLUS

CN 3(2H)-Pyridazinone, 6-hydroxy-2-[(pentachlorophenyl)sulfonyl]- (8CI) (CA INDEX NAME)



```
=> => d his

     (FILE 'HOME' ENTERED AT 17:57:13 ON 03 SEP 2004)

     FILE 'REGISTRY' ENTERED AT 17:57:18 ON 03 SEP 2004
L1               SCREEN 1839
L2               SCREEN 2016 OR  2026 OR  2039 OR  2040 OR  2045 OR  2047
L3               STRUCTURE UPLOADED
L4               QUE L3 AND L1 NOT L2
L5             5 S L4 SSS SAM
L6            82 S L4 SSS FUL

     FILE 'CAPLUS' ENTERED AT 17:58:26 ON 03 SEP 2004
L7            42 S L6

     FILE 'CAOLD' ENTERED AT 17:59:24 ON 03 SEP 2004

=> s l6
L8             0 L6

=> log y
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                    0.42     357.27

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                    0.00     -29.40

STN INTERNATIONAL LOGOFF AT 17:59:38 ON 03 SEP 2004
```